

chain nodes :

7 9 10 11 18 39 42 43 56 57 58 59 60 65

ring nodes :

1 2 3 4 5 6 12 13 14 15 16 17 19 20 21 22 23 24 25 26 27 28 29 30
31 32 33 34 44 45 46 47 48 49 50 51 52 53 54 55

chain bonds :

5-42 6-7 7-9 7-10 10-11 11-39 18-21 42-65 43-45 51-56 56-57 58-59 58-60

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17 19-20 19-24
20-21 21-22 22-23 23-24 25-26 25-30 26-27 26-31 27-28 28-29 28-33 29-30 30-34
31-32 32-33 32-34 44-45 44-49 45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53
53-54 54-55

exact/norm bonds :

5-42 7-9 7-10 10-11 11-39 12-13 12-17 13-14 14-15 15-16 16-17 18-21 42-65
58-59 58-60

exact bonds :

6-7 25-26 25-30 26-27 26-31 27-28 28-29 28-33 29-30 30-34 31-32 32-33 32-34
43-45 51-56 56-57

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 19-20 19-24 20-21 21-22 22-23 23-24 44-45 44-49
45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53 53-54 54-55

isolated ring systems :

containing 1 : 19 : 25 : 44 : 50 :

G1:O,S

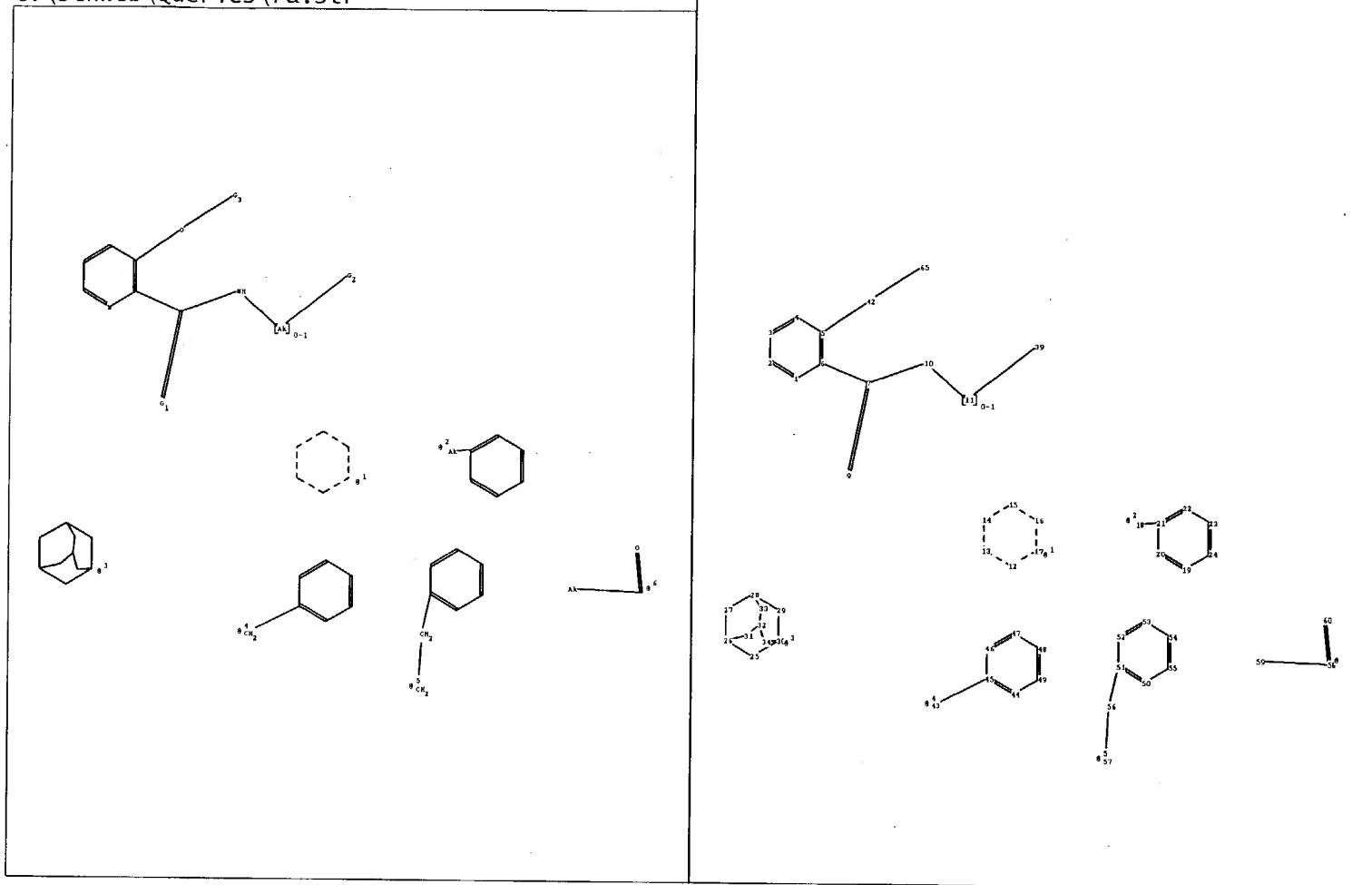
G2:[*1],[*2],[*3]

G3:Ak,H,[*4],[*5],[*6]

Match level :

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12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom 20:Atom 21:Atom
22:Atom

23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom
32:Atom 33:Atom 34:Atom 39:CLASS 42:CLASS 43:CLASS 44:Atom 45:Atom 46:Atom
47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:CLASS
57:CLASS 58:CLASS 59:CLASS 60:CLASS 65:CLASS



chain nodes :

7 9 10 11 18 39 42 43 56 57 58 59 60 65

ring nodes :

1 2 3 4 5 6 12 13 14 15 16 17 19 20 21 22 23 24 25 26 27 28 29 30
31 32 33 34 44 45 46 47 48 49 50 51 52 53 54 55

chain bonds :

5-42 6-7 7-9 7-10 10-11 11-39 18-21 42-65 43-45 51-56 56-57 58-59 58-60

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17 19-20 19-24
20-21 21-22 22-23 23-24 25-26 25-30 26-27 26-31 27-28 28-29 28-33 29-30 30-34
31-32 32-33 32-34 44-45 44-49 45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53
53-54 54-55

exact/norm bonds :

5-42 7-9 7-10 10-11 11-39 12-13 12-17 13-14 14-15 15-16 16-17 18-21 25-26
25-30 26-27 26-31 27-28 28-29 28-33 29-30 30-34 31-32 32-33 32-34 42-65 58-59
58-60

exact bonds :

6-7 43-45 51-56 56-57

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 19-20 19-24 20-21 21-22 22-23 23-24 44-45 44-49
45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53 53-54 54-55

G1:O,S

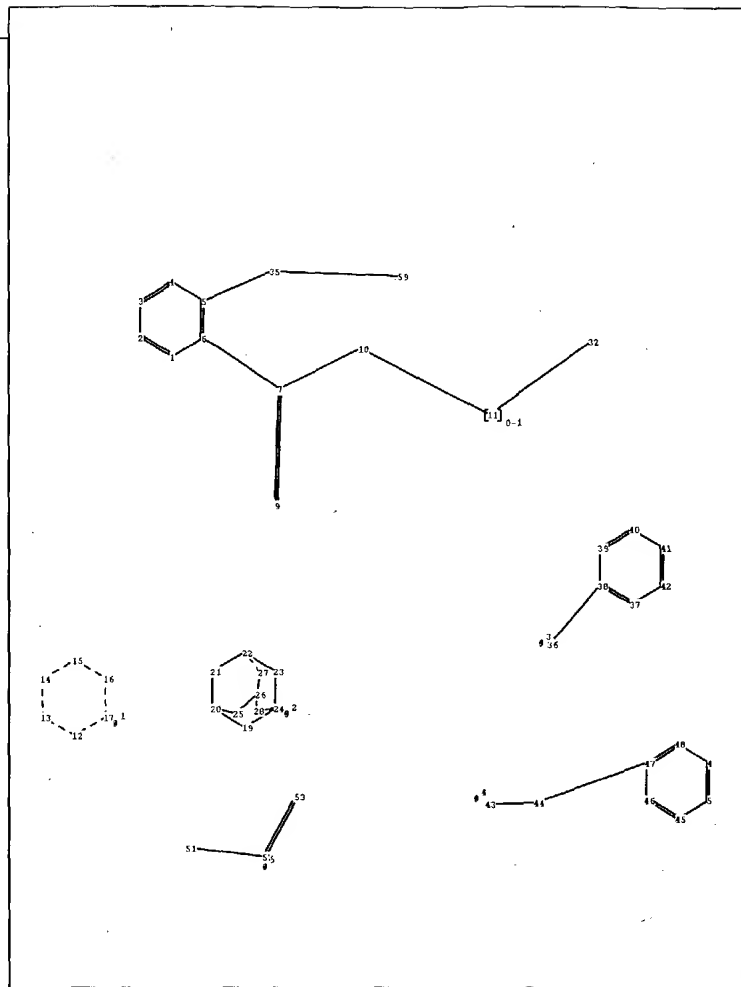
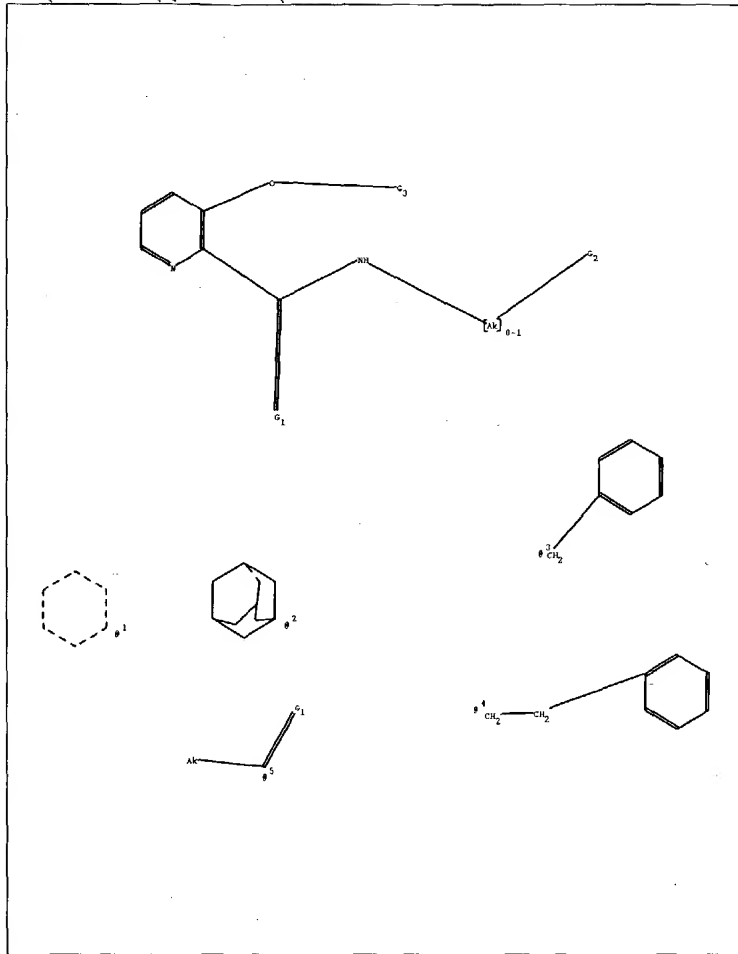
G2:[*1],[*2],[*3]

G3:Ak,H,[*4],[*5],[*6]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom
32:Atom 33:Atom 34:Atom 39:CLASS 42:CLASS 43:CLASS 44:Atom 45:Atom 46:Atom
47:Atom

48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:CLASS
57:CLASS 58:CLASS 59:CLASS 60:CLASS 65:CLASS



chain nodes :

7 9 10 11 32 35 36 43 44 51 52 53 59

ring nodes :

1 2 3 4 5 6 12 13 14 15 16 17 19 20 21 22 23 24 25 26 27 28 37 38
39 40 41 42 45 46 47 48 49 50

chain bonds :

5-35 6-7 7-9 7-10 10-11 11-32 35-59 36-38 43-44 44-47 51-52 52-53

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17 19-20 19-24
20-21 20-25 21-22 22-23 22-27 23-24 24-28 25-26 26-27 26-28 37-38 37-42 38-39
39-40 40-41 41-42 45-46 45-50 46-47 47-48 48-49 49-50

exact/norm bonds :

5-35 7-9 7-10 10-11 11-32 12-13 12-17 13-14 14-15 15-16 16-17 22-27 35-59
51-52 52-53

exact bonds :

6-7 19-20 19-24 20-21 20-25 21-22 22-23 23-24 24-28 25-26 26-27 26-28 36-38
43-44 44-47

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 37-38 37-42 38-39 39-40 40-41 41-42 45-46 45-50
46-47 47-48 48-49 49-50

isolated ring systems :

containing 1 : 12 : 19 : 37 : 45 :

G1:O,S

G2:[*1],[*2]

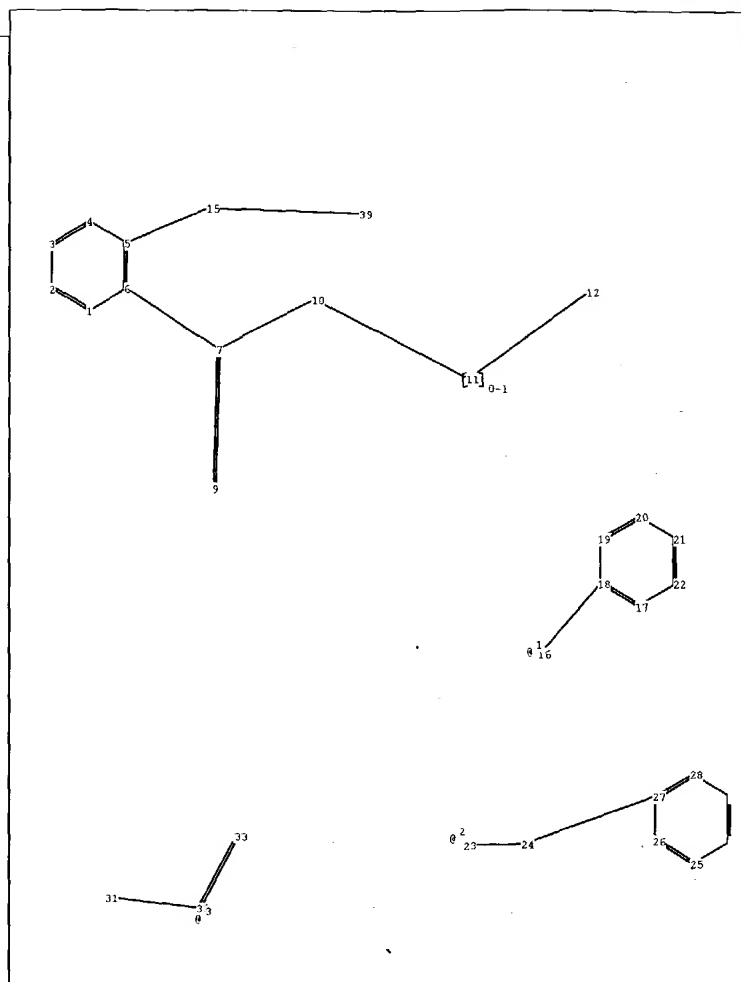
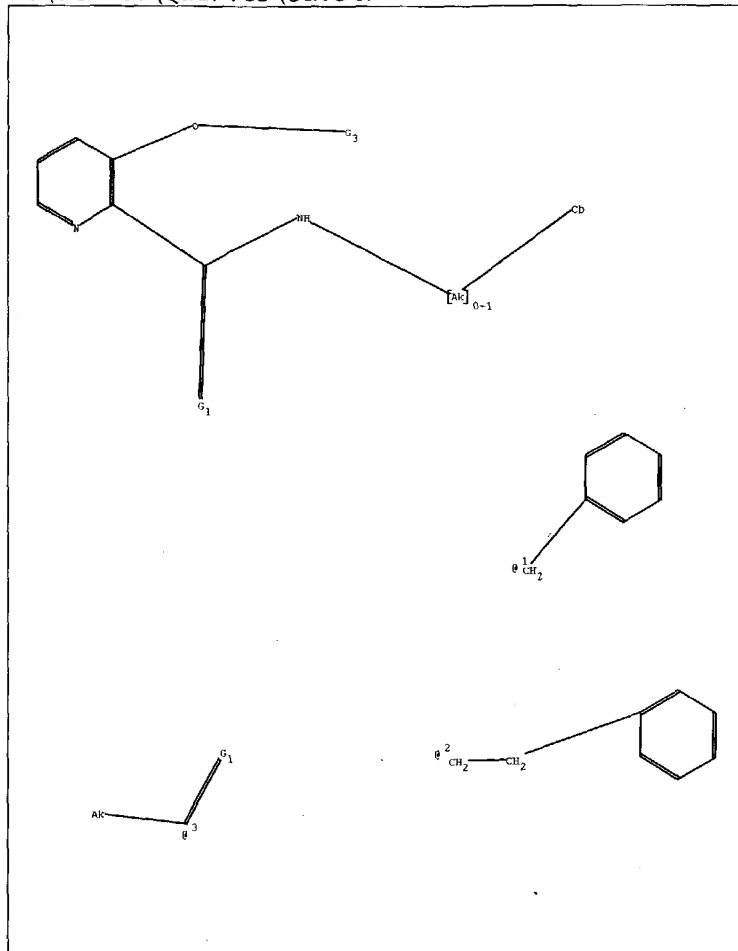
G3:H,Ak,[*3],[*4],[*5]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 32:CLASS 35:CLASS 36:CLASS
37:Atom

46:Atom 38:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:CLASS 44:CLASS 45:Atom
47:Atom 48:Atom 49:Atom 50:Atom 51:CLASS 52:CLASS 53:CLASS 59:CLASS

C:\stnweb\Queries\3a.str



chain nodes :

7 9 10 11 12 15 16 23 24 31 32 33 39

ring nodes :

1 2 3 4 5 6 17 18 19 20 21 22 25 26 27 28 29 30

chain bonds :

5-15 6-7 7-9 7-10 10-11 11-12 15-39 16-18 23-24 24-27 31-32 32-33

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22 25-26 25-30
26-27 27-28 28-29 29-30

exact/norm bonds :

5-15 7-9 7-10 10-11 11-12 15-39 31-32 32-33

exact bonds :

6-7 16-18 23-24 24-27

normalized bonds :

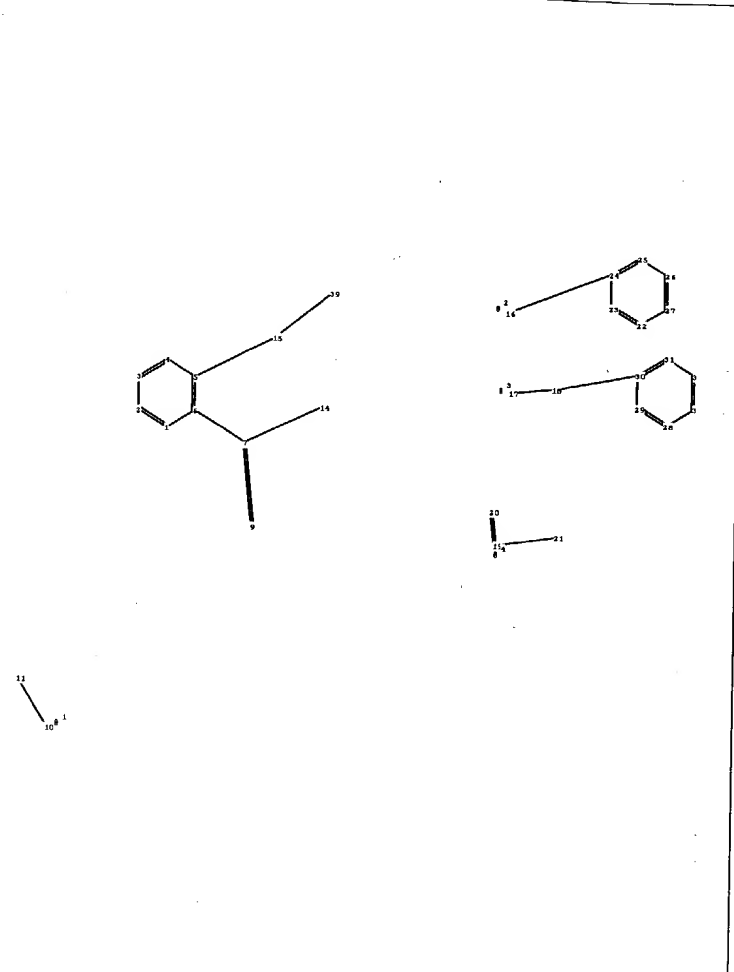
1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22 25-26 25-30
26-27 27-28 28-29 29-30

G1:O,S

G3:H,Ak,[*1],[*2],[*3]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS
12:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:CLASS 24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS
32:CLASS 33:CLASS 39:CLASS



```

7 9 10 11 14 15 16 17 18 19 20 21 39
ring nodes :
1 2 3 4 5 6 22 23 24 25 26 27 28 29 30 31 32 33
chain bonds :
5-15 6-7 7-9 7-14 10-11 15-39 16-24 17-18 18-30 19-20 19-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 22-23 22-27 23-24 24-25 25-26 26-27 28-29 28-33
29-30 30-31 31-32 32-33
exact/norm bonds :
5-15 7-9 7-14 10-11 15-39 19-20 19-21
exact bonds :
6-7 16-24 17-18 18-30
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 22-23 22-27 23-24 24-25 25-26 26-27 28-29 28-33
29-30 30-31 31-32 32-33
isolated ring systems :
containing 1 : 22 : 28 :

```

G2:OH,X,[*1]

G3:H, [*2], [*3], [*4]

```
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS
14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:Atom
23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom
33:Atom 39:CLASS
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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
 NEWS 2 "Ask CAS" for self-help around the clock
 NEWS 3 JUL 12 BEILSTEIN enhanced with new display and select options,
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 fields
 NEWS 5 AUG 02 CAplus and CA patent records enhanced with European and Japan
 Patent Office Classifications
 NEWS 6 AUG 02 The Analysis Edition of STN Express with Discover!
 (Version 7.01 for Windows) now available
 NEWS 7 AUG 27 BIOCOMMERCE: Changes and enhancements to content coverage
 NEWS 8 AUG 27 BIOTECHABS/BIOTECHDS: Two new display fields added for legal
 status data from INPADOC
 NEWS 9 SEP 01 INPADOC: New family current-awareness alert (SDI) available
 NEWS 10 SEP 01 New pricing for the Save Answers for SciFinder Wizard within
 STN Express with Discover!
 NEWS 11 SEP 01 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
 NEWS 12 SEP 27 STANDARDS will no longer be available on STN
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 00:39:39 ON 08 NOV 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 00:39:44 ON 08 NOV 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file
 provided by InfoChem.

STRUCTURE FILE UPDATES: 5 NOV 2004 HIGHEST RN 775356-23-5
 DICTIONARY FILE UPDATES: 5 NOV 2004 HIGHEST RN 775356-23-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

L1 STRUCTURE UPLOADED

=> s 11

GENERIC GROUP NOT VALID HERE

Generic groups may not be used in these circumstances:

1. Any generic group node (e.g., Hy) in a ring.
2. An Ak node attached to another Ak node.

=>

L2 STRUCTURE UPLOADED

=> s 12

GENERIC GROUP NOT VALID HERE

Generic groups may not be used in these circumstances:

1. Any generic group node (e.g., Hy) in a ring.
2. An Ak node attached to another Ak node.

=>

L3 STRUCTURE UPLOADED

=> 13

L3 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> d 13

L3 HAS NO ANSWERS

L3 STR

=> s 13

SAMPLE SEARCH INITIATED 00:54:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 138 TO ITERATE

100.0% PROCESSED 138 ITERATIONS
SEARCH TIME: 00.00.01

36 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 2056 TO 3464
PROJECTED ANSWERS: 360 TO 1080

L4 36 SEA SSS SAM L3

=> s l3 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 00:54:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2439 TO ITERATE

100.0% PROCESSED 2439 ITERATIONS 666 ANSWERS
SEARCH TIME: 00.00.01

L5 666 SEA SSS FUL L3

=>

L6 STRUCTURE UPLOADED

=> d l5

L6 HAS NO ANSWERS

L6 STR

=> s l6

SAMPLE SEARCH INITIATED 00:56:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 198 TO ITERATE

100.0% PROCESSED 198 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 3116 TO 4804

PROJECTED ANSWERS: 752 TO 1688

L7 50 SEA SSS SAM L6

=> s l5 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 00:57:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3395 TO ITERATE

100.0% PROCESSED 3395 ITERATIONS 1060 ANSWERS
SEARCH TIME: 00.00.01

L8 1060 SEA SSS FUL L6

=> d his

(FILE 'HOME' ENTERED AT 00:39:39 ON 08 NOV 2004)

FILE 'REGISTRY' ENTERED AT 00:39:44 ON 08 NOV 2004

L1 STRUCTURE UPLOADED

L2 STRUCTURE UPLOADED

L3 STRUCTURE UPLOADED

L4 36 S L3

L5 666 S L3 FULL

L6 STRUCTURE UPLOADED

L7 50 S L6

L8 1060 S L6 FULL

=> s l8 not l5

L9 394 L8 NOT L5

=> file hcaplus
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
322.18	322.39

FULL ESTIMATED COST

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FILE COVERS 1907 - 8 Nov 2004 VOL 141 ISS 20
FILE LAST UPDATED: 7 Nov 2004 (20041107/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 19
L10 23 L9

=> s 110 and imamura, k?/au
1317 IMAMURA, K?/AU
L11 1 L10 AND IMAMURA, K?/AU

=> d 111, ibib abs fhitstr, i

L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	Chem References
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ACCESSION NUMBER: 2000:314676 HCAPLUS
DOCUMENT NUMBER: 132:334362
TITLE: Preparation of picolinamide derivatives and pest controllers containing the same as the active ingredient
INVENTOR(S): Imamura, Keiichi; Mitomo, Kouichi; Yamada, Natsuko; Yamamoto, Kazumi; Teraoka, Takeshi; Sakanaka, Osamu; Kurihara, Hiroshi; Taniguchi, Makoto
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026191	A1	20000511	WO 1999-JP6142	19991104

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2353627 AA 20000511 CA 1999-2353627 19991104

EP 1134214 A1 20010919 EP 1999-954375 19991104

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

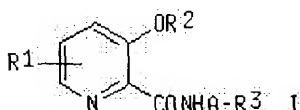
AU 771975 B2 20040408 AU 2000-10768 19991104

PRIORITY APPLN. INFO.: JP 1998-313688 A 19981104

WO 1999-JP6142 W 19991104

OTHER SOURCE(S): MARPAT 132:334362

GI



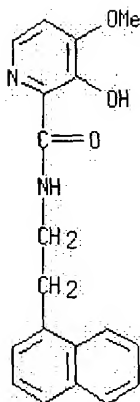
AB Described are novel compds. of general formula [I; wherein A is a bond or optionally substituted alkylene; R₁ is one or more groups which may be the same or different from each other and are selected from among hydrogen, alkoxy and haloalkoxy; R₂ is hydrogen, (substituted) benzyl, (substituted) alkyl or (substituted) alkanoyl; and R₃ is hydrogen, (substituted) cycloalkyl, (substituted) cycloalkenyl, (substituted) aryl, or a (substituted) heterocyclic group, with the proviso that the cases wherein R₁ is hydrogen, A is a free valency or methylene, and R₃ is Ph or cyclohexyl or those wherein A is alkylene and R₃ is hydrogen are excepted.], pest controllers such as plant fungicides, insecticides, and herbicides contg. the same; and a process for the prepn. of the compds. Thus, a soln. of 1.85 g 4-phenoxyaniline in 25 mL DMF was added dropwise to a suspension of 1.39 g 3-hydroxypicolinic acid, 1.95 g carbonyl diimidazole, and 30 mL DMF and stirred overnight to give 41% 3-hydroxy-4'-phoxypicolinanilide (II). II at 100 ppm protected 80-100% rice seedlings against Pyricularia oryzae.

IT 267415-81-6P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of picolinamide derivs. as pest controllers)

RN 267415-81-6 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[2-(1-naphthalenyl)ethyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 00:39:39 ON 08 NOV 2004)

FILE 'REGISTRY' ENTERED AT 00:39:44 ON 08 NOV 2004

L1 STRUCTURE UPLOADED
 L2 STRUCTURE UPLOADED
 L3 STRUCTURE UPLOADED
 L4 36 S L3
 L5 666 S L3 FULL
 L6 STRUCTURE UPLOADED
 L7 50 S L6
 L8 1060 S L6 FULL
 L9 394 S L8 NOT L5

FILE 'HCAPLUS' ENTERED AT 00:57:20 ON 08 NOV 2004

L10 23 S L9
 L11 1 S L10 AND IMAMURA, K?/AU

=> s l10 not l11

L12 22 L10 NOT L11

=> s l12 and mitomo, k?/au

41 MITOMO, K?/AU

L13 0 L12 AND MITOMO, K?/AU

=> s l12 and yamada, n?/au

3331 YAMADA, N?/AU

L14 0 L12 AND YAMADA, N?/AU

=> s l12 and yamamoto, k?/au

16192 YAMAMOTO, K?/AU

L15 0 L12 AND YAMAMOTO, K?/AU

=> s l12 and teraoka, t?/au

360 TERAOKA, T?/AU

L16 0 L12 AND TERAOKA, T?/AU

=> s l12 and sakanaka, o?/au

23 SAKANAKA, O?/AU

L17 0 L12 AND SAKANAKA, O?/AU

=> s l12 and kurihara, h?/au
 1265 KURIHARA, H?/AU
 L18 0 L12 AND KURIHARA, H?/AU

=> s l12 and taniguchi, m?/au
 3468 TANIGUCHI, M?/AU
 L19 0 L12 AND TANIGUCHI, M?/AU

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L12 ANSWER 1 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

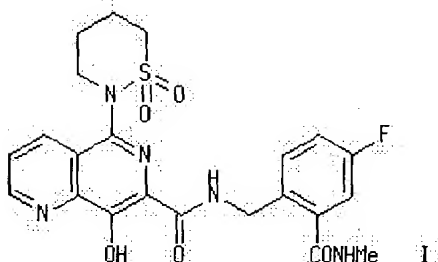
References

ACCESSION NUMBER: 2004:780495 HCAPLUS
 DOCUMENT NUMBER: 141:296002
 TITLE: Preparation of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide potassium salt as an HIV integrase inhibitor
 INVENTOR(S): Palucki, Michael; Askin, David; Angelico, Vincent J.; Wenslow, Robert M., Jr.
 PATENT ASSIGNEE(S): Merck & Co. Inc., USA
 SOURCE: PCT Int. Appl., 49 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080402	A2	20040923	WO 2004-US6968	20040308
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
 GI

US 2003-453896P P 20030312



AB A potassium salt of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide (I) was prepd. The compd. I potassium salt is an HIV integrase inhibitor useful for preventing or treating HIV infection, for delaying the onset of AIDS, and for treating AIDS. Thus, a 50-L flask equipped with a mech. stirrer, temp. probe, and nitrogen inlet was charged with dry DMF (16.3 L), 5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (1.735 kg, 6.0 wt.% water), anhyd. HOBt (341 g), N-methyl-2-amino-5-fluorobenzenecarboxamide hydrochloride (1.32 kg), and NMM (456 g, 500 mL). The suspension was agitated at 20° until a homogeneous soln. was obtained and then cooled to 0-5°, treated with EDC (1.45 kg), and aged until complete conversion of the carboxylic acid was reached as detd. by HPLC (<0.5% the carboxylic acid, ~16 h) to give, after workup and drying, I (2.16 kg, 88% isolated yield, purity: >99.0 A% by HPLC assay). A 100 L cylinder equipped with a mech. stirrer, temp. probe, addn. funnel, and nitrogen inlet was charged with 4.2 kg I and EtOH (84 L) and then heated to 60°. To the resulting yellow suspension was added 866 mL 45 wt.% aq. KOH and the resulting yellow soln. was filtered through a 10 µm line filter into an adjacent 100 L flask. The soln. was seeded and heated at 60° for 3 h and then allowed to cool to room temp. overnight. The resulting slurry was cooled to 3-4°, filtered, and washed with 4 X 2 L of cold EtOH. The filter pot was placed under vacuum with a N stream to obtain I potassium salt as a cryst. ethanolate salt (purity >99.6 A% by HPLC assay, 6.8 wt.% ethanol by GC, and 0.5 wt.% water by Karl Fisher titrn.).

IT 761452-50-0P

RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(X-ray diffraction anal.; prepn. of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide potassium salt as HIV integrase inhibitor)

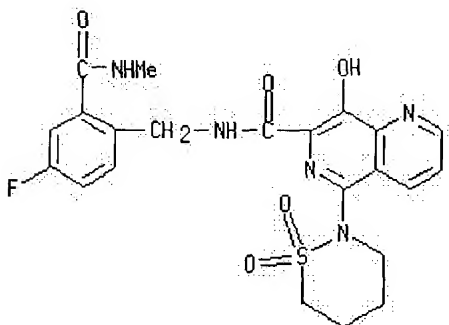
RN 761452-50-0 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 606080-42-6

CMF C22 H22 F N5 O5 S



CM 2

CRN 64-17-5

CMF C2 H6 O

H3C-CH2-OH

L12 ANSWER 2 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	Search References
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ACCESSION NUMBER: 2004:686348 HCAPLUS
DOCUMENT NUMBER: 141:235759
TITLE: A naphthyridine carboxamide provides evidence for discordant resistance between mechanistically identical inhibitors of HIV-1 integrase
AUTHOR(S): Hazuda, Daria J.; Anthony, Neville J.; Gomez, Robert P.; Jolly, Samson M.; Wai, John S.; Zhuang, Linghang; Fisher, Thorsten E.; Embrey, Mark; Guare, James P., Jr.; Egbertson, Melissa S.; Vacca, Joseph P.; Huff, Joel R.; Felock, Peter J.; Witmer, Marc V.; Stillmock, Kara A.; Danovich, Robert; Grobler, Jay; Miller, Michael D.; Espeseth, Amy S.; Jin, Lixia; Chen, I-Wu; Lin, Jiunn H.; Kassahun, Kelem; Ellis, Joan D.; Wong, Bradley K.; Xu, Wei; Pearson, Paul G.; Schleif, William A.; Cortese, Riccardo; Emini, Emilio; Summa, Vincenzo; Holloway, M. Katharine; Young, Steven D.
CORPORATE SOURCE: Department of Biological Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2004), 101(31), 11233-11238
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The increasing incidence of resistance to current HIV-1 therapy underscores the need to develop antiretroviral agents with new mechanisms of action. Integrase, one of three viral enzymes essential for HIV-1 replication, presents an important yet unexploited opportunity for drug development. The authors describe here the identification and characterization of L-870,810, a small-mol. inhibitor of HIV-1 integrase with potent antiviral activity in cell culture and good pharmacokinetic properties. L-870,810 is an inhibitor with an 8-hydroxy-(1,6)-naphthyridine-7-carboxamide pharmacophore. The compd. inhibits HIV-1 integrase-mediated strand transfer, and its antiviral activity in vitro is a direct consequence of this ascribed effect on integration. L-870,810 is mechanistically identical to previously described inhibitors from the diketo acid series; however, viruses selected for resistance to L-870,810 contain mutations (integrase residues 72, 121, and 125) that uniquely confer resistance to the naphthyridine. Conversely, mutations assocd. with resistance to the diketo acid do not engender naphthyridine resistance. Importantly, the mutations assocd. with resistance to each of these inhibitors map to distinct regions within the integrase active site. Therefore, the authors propose a model of the two inhibitors that is consistent with this observation and suggests specific interactions with discrete binding sites for each ligand. These studies provide a structural basis and rationale for developing integrase inhibitors with the potential for unique and nonoverlapping resistance profiles.

IT 410544-95-5, L-870810

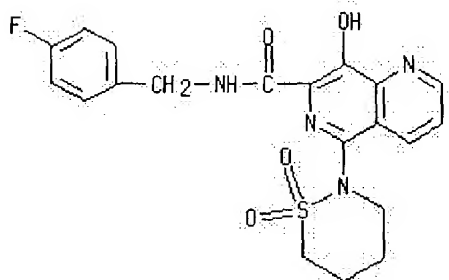
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(naphthyridine carboxamide provides evidence for discordant resistance between mechanistically identical inhibitors of HIV-1 integrase in

relation to pharmacokinetic properties)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

ACCESSION NUMBER: 2004:587781 HCAPLUS

DOCUMENT NUMBER: 141:253713

TITLE: Integrase Inhibitors and Cellular Immunity Suppress Retroviral Replication in Rhesus Macaques

AUTHOR(S): Hazuda, Daria J.; Young, Steven D.; Guare, James P.; Anthony, Neville J.; Gomez, Robert P.; Wai, John S.; Vacca, Joseph P.; Handt, Larry; Motzel, Sherri L.; Klein, Hilton J.; Dornadula, Geethanjali; Danovich, Robert M.; Witmer, Marc V.; Wilson, Keith A. A.; Tussey, Lynda; Schleif, William A.; Gabryelski, Lori S.; Jin, Lixia; Miller, Michael D.; Casimiro, Danilo R.; Emini, Emilio A.; Shiver, John W.

CORPORATE SOURCE: Dep. Biological Chem., Merck Res. Laboratories, West Poing, PA, 19486, USA

SOURCE: Science (Washington, DC, United States) (2004), 305(5683), 528-532
CODEN: SCIEAS; ISSN: 0036-8075

PUBLISHER: American Association for the Advancement of Science

DOCUMENT TYPE: Journal

LANGUAGE: English

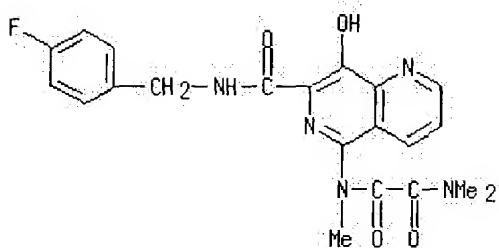
AB The authors describe the efficacy of L-870812, an inhibitor of HIV-1 and SIV integrase, in rhesus macaques infected with the simian-human immunodeficiency virus (SHIV) 89.6P. When initiated before CD4 cell depletion, L-870812 therapy mediated a sustained suppression of viremia, preserving CD4 levels and permitting the induction of virus-specific cellular immunity. L-870812 was also active in chronic infection; however, the magnitude and durability of the effect varied in conjunction with the pretreatment immune response and viral load. These studies demonstrate integrase inhibitor activity in vivo and suggest that cellular immunity facilitates chemotherapeutic efficacy in retroviral infections.

IT 410545-90-3, L 870812

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(integrase inhibitors and cellular immunity suppress retroviral replication in rhesus macaques)

RN 410545-90-3 HCAPLUS

CN Ethanediame, [7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]trimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text References

ACCESSION NUMBER: 2004:308423 HCAPLUS
 DOCUMENT NUMBER: 140:332510
 TITLE: Neurologically active heterocyclic compounds, their preparation, and their therapeutic use
 INVENTOR(S): Kok, Gaik Beng; Leung, Brenda Kwan Yi; Gautier, Elisabeth Colette Louise; Barnham, Kevin Jeffrey
 PATENT ASSIGNEE(S): Prana Biotechnology Limited, Australia
 SOURCE: PCT Int. Appl., 183 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031161	A1	20040415	WO 2003-AU1303	20031003
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
 AU 2002-951864 A 20021004
 AU 2002-951865 A 20021004
 AU 2002-951866 A 20021004
 AU 2002-951868 A 20021004

OTHER SOURCE(S): MARPAT 140:332510

AB The invention discloses neurol.-active compds. which are heterocyclic compds. having two fused 6-membered rings with a nitrogen atom at position 1 and a hydroxy or mercapto group at position 8 with at least one ring being arom. Also disclosed are processes for the prepn. of these compds. and their use as pharmaceutical or veterinary agents, in particular for the treatment of neurol. conditions, more specifically neurodegenerative conditions such as Alzheimer's disease.

IT 679797-87-6P

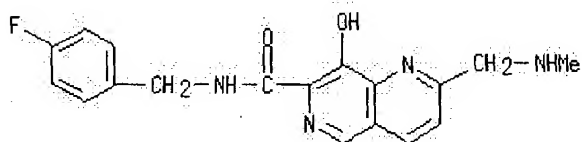
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(neurol. active heterocyclic compds., prepn., and therapeutic use)

RN 679797-87-6 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-2-[(methylamino)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

ACCESSION NUMBER: 2004:203660 HCAPLUS

DOCUMENT NUMBER: 140:229445

TITLE: Method using heterocyclic carboxamides for preventing or treating atherosclerosis or restenosis

INVENTOR(S): Wathen, Michael W.; Wathen, Lynne K.

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: PCT Int. Appl., 190 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004019933	A1	20040311	WO 2003-US26963	20030828
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004176366	A1	20040909	US 2003-651290	20030828
PRIORITY APPLN. INFO.:			US 2002-407563P	P 20020830
			US 2003-469630P	P 20030509

OTHER SOURCE(S): MARPAT 140:229445

AB The invention provides a method of preventing or treating atherosclerosis or restenosis in mammals, which comprises administering an effective amt. of a heterocyclic carboxamide.

IT 389796-61-6

RL: AGR (Agricultural use); PAC (Pharmacological activity); THU

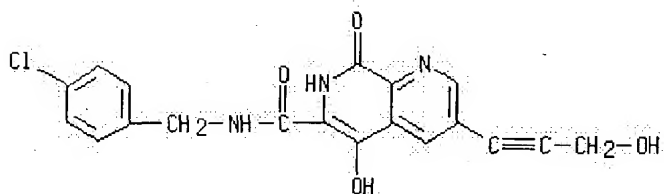
(Therapeutic use); BIOL (Biological study); USES (Uses)

(heterocyclic carboxamides for preventing or treating atherosclerosis

or restenosis)

RN 389796-61-6 HCAPLUS

CN 1,7-Naphthyridine-6-carboxamide, N-[(4-chlorophenyl)methyl]-7,8-dihydro-5-hydroxy-3-(3-hydroxy-1-propynyl)-8-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

ACCESSION NUMBER: 2004:20782 HCAPLUS
DOCUMENT NUMBER: 140:62116
TITLE: Method of removal of carbonyl compounds along with acid gases from cracked gas in ethylene process
INVENTOR(S): Subramaniyam, Mahesh
PATENT ASSIGNEE(S): Dorf Ketel Chemicals India Pvt. Ltd., India
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004003110	A1	20040108	WO 2002-IN195	20020930
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2002-391717P P 20020626

AB A method for inhibiting and dissolving polymeric deposits on the internal surfaces of a caustic wash unit system in a hydrocarbon cracking process in which the deposits result from polymn. of ≥ 1 component contained within a feed stream of the caustic wash unit system. The method comprises the step of introducing into the stream an effective amt. of a compd. selected from a group consisting of alkali metal salts of oxo acids of S, alkali metal salts of acids of lactam, alkali metal salts of acids of sultam, alkali metal salts of amino acids, alk. earth metal salts of acids of sultam, alk. earth metal salts of amino acids, lactam, sultam, amino acids, and combinations thereof, in which the effective amt. inhibits polymn. and dissolves the deposits.

IT 411233-43-7

RL: NUU (Other use, unclassified); TEM (Technical or engineered material

use); USES (Uses)

(method of removal of carbonyl compds. along with acid gases from cracked gas in ethylene process)

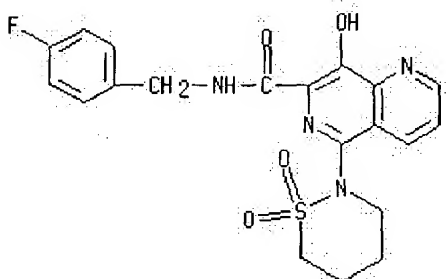
RN 411233-43-7 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, compd. with ethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 410544-95-5

CMF C20 H19 F N4 O4 S



CM 2

CRN 64-17-5

CMF C2 H6 O

H₃C-CH₂-OH

REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text Searching References

ACCESSION NUMBER: 2003:836794 HCAPLUS

DOCUMENT NUMBER: 139:341742

TITLE: Pharmaceutical compositions containing an HIV integrase inhibitor and a nonionic surfactant

INVENTOR(S): Robertson, Sandra; Cruanes, Maria T.; Karaborni, Sami; Ostovic, Drazen; Fu, Xi-yong; Kamali, Ashkan; Panmai, Santipharp; Plank, Russell V.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086319	A2	20031023	WO 2003-US7517	20030313
WO 2003086319	A3	20040805		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2002-371296P

P 20020410

OTHER SOURCE(S):

MARPAT 139:341742

AB Pharmaceutical comps. comprise a therapeutically effective amt. of an 8-hydroxy-1,6-naphthyridine-7-carboxamide compd. or a pharmaceutically acceptable salt thereof and a nonionic surfactant. Comps. of this invention are HIV integrase inhibitors, and the pharmaceutical comps. are useful for preventing or treating HIV infection or for preventing, treating, or delaying the onset of AIDS. The pharmaceutical comps. are typically administered orally, for example, in the form of capsules or tablets, and can provide good oral bioavailability. Methods for prep. encapsulated and tableted forms of the pharmaceutical comps. are described.

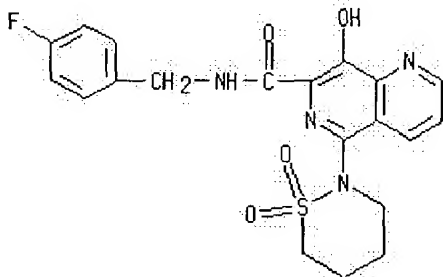
IT **410544-95-5P**

RL: PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(oral comps. contg. HIV integrase inhibitor and nonionic surfactant)

RN **410544-95-5** HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L12 ANSWER 8 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

References

ACCESSION NUMBER: 2003:757475 HCAPLUS

DOCUMENT NUMBER: 139:276879

TITLE: Preparation of N-(substituted benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV infection/AIDS

INVENTOR(S): Egbertson, Melissa; Langford, H. Marie; Melamed, Jeffrey Y.; Wai, John S.; Han, Wei; Perlow, Debbie S.; Zhuang, Linghang; Embrey, Mark; Young, Steven D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2003077857</u>	A2	20030925	<u>WO 2003-US7671</u>	20030312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			<u>US 2002-364929P</u>	P 20020315
OTHER SOURCE(S):		MARPAT 139:276879		
GI				

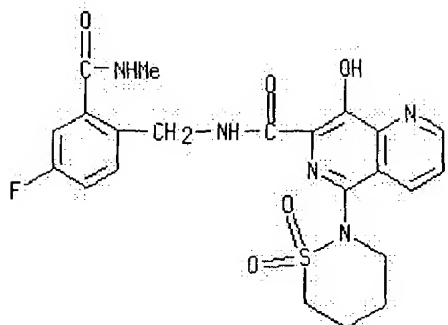
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = H or F; R2 = carbamoylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopyrrolidin-1-yl and analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidiny, pyrrolidinyl, piperidinyl, morpholino; R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/methylthioxy/methyloxy/amino/alkylamino/alkenyl), (un)substituted 5- to 7-membered satd. heterocyclic ring contg. 1 to 4 heteroatoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutically acceptable salts] were prepd. as HIV-integrase inhibitors for preventing and treating infection by HIV and for preventing, treating or delaying the onset of AIDS. For example, II•Na was prepd. via TEA-acylation of III•HCl (prepn. given) with 5-(1,1-dioxo-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (IV) in DMF at room temp. overnight, followed by sodium salt formation by reaction with NaOH at room temp. for 30 min. IV was prepd. from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester in 5 steps by NBS-bromination in CHCl₃, O-tosylation in CHCl₃, condensation of the bromide with 1,4-butanediol in DMF in the presence of Cu₂O/2,2'-bipyridyl at 120° for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60°. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC₅₀ < 0.5 µM. The same compds. inhibited HIV replication in T-lymphoid cells with IC₉₅ < 5 µM. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT 606080-42-6P, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN 606080-42-6 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L12 ANSWER 9 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	References
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ACCESSION NUMBER: 2003:757471 HCAPLUS
 DOCUMENT NUMBER: 139:276878
 TITLE: Preparation of N-(substituted benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV infection/AIDS
 INVENTOR(S): Egbertson, Melissa; Langford, H. Marie; Melamed, Jeffrey Y.; Wai, John S.; Han, Wei; Perlow, Debbie S.; Zhuang, Linghang; Embrey, Mark
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 114 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077850	A2	20030925	WO 2003-US7448	20030312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2002-364929P P 20020315
 OTHER SOURCE(S): MARPAT 139:276878
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

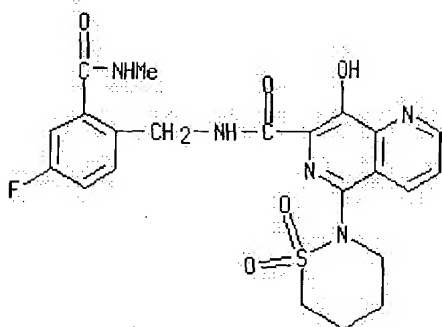
AB Title compds. I [wherein R1 = H or F; R2 = carbamoylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopyrrolidin-1-yl and analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidiny, pyrrolidinyl, piperidinyl, morpholino; R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/methylthioxy/methyloxy/amino/alkylamino/alkenyl), (un)substituted 5- to 7-membered satd. heterocyclic ring contg. 1 to 4

heteroatoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutical acceptable salts] were prepd. as HIV-integrase inhibitors for preventing and treating infection by HIV and for preventing, treating or delaying the onset of AIDS. For example, II•Na was prepd. via TEA-acylation of III•HCl (prepn. given) with 5-(1,1-dioxo-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (IV) in DMF at room temp. overnight, followed by sodium salt formation by reaction with NaOH at room temp. for 30 min. IV was prepd. from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester in 5 steps by NBS-bromination in CHCl₃, O-tosylation in CHCl₃, condensation of the bromide with 1,4-butanediol in DMF in the presence of Cu₂O/2,2'-bipyridyl at 120° for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60°. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC₅₀ < 0.5 μM. The same compds. inhibited the replication of HIV in T-lymphoid cells with IC₉₅ < 5 μM. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT **606080-42-6P**, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN **606080-42-6** HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L12 ANSWER 10 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text **Chemical Abstracts**

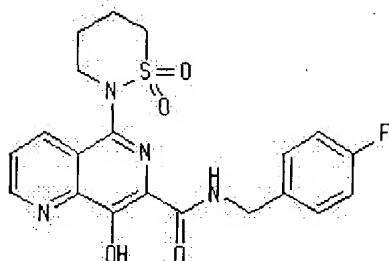
ACCESSION NUMBER: 2003:154434 HCAPLUS
 DOCUMENT NUMBER: 138:205068
 TITLE: Process for the preparation of a Na salt of a 5-(dioxidothiazinanyl)naphthyridine-7-carboxamide HIV integrase inhibitor
 INVENTOR(S): Anthony, Neville J.; Xu, Wei; Lepore, John V.; Mahajan, Amar J.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 40 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016315	A1	20030227	WO 2002-US25675	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1430058	A1	20040623	EP 2002-794880	20020813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2003119823	A1	20030626	US 2002-218537	20020814
<u>PRIORITY APPLN. INFO.:</u>			US 2001-313373P	P 20010817
			WO 2002-US25675	W 20020813

GI



AB The cryst. sodium salt I•Na was prepd. as an HIV integrase inhibitor for preventing or treating HIV infection, for delaying the onset of AIDS, and for treating AIDS (no data). I•Na exhibited superior oral bioavailability and improved pharmacokinetics (e.g., improved C_{max} and AUC) in rats and dogs relative to amorphous and cryst. I (no data). For example, 5-bromo-8-(p-toluenesulfonyloxy)-1,6-naphthyridine-7-carboxylic acid Me ester was coupled with 1,4-butane sultam (prepn. of starting materials given) in the presence of Cu₂O and 2,2'-bipyridyl in DMF (78%). Deprotection of the alc. with NaOMe in MeOH (97%), followed by amidation with 4-fluorobenzylamine in EtOH gave I•EtOH (94%). The cryst. Na salt of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide (I•Na) was formed by treating the monoethonalate with 5M NaOH in a mixt. of EtOH and H₂O. I•Na was analyzed by differential scanning calorimetry at a heating rate of 10°C/min in an open cup under flowing nitrogen and was found to have a DSC curve exhibiting an endotherm with a peak temp. of about 348° and an assocd. heat of fusion of about 45 J/gm followed by an exotherm with a peak temp. of about 352° and an assocd. heat of fusion of about 45 J/gm. The X-ray powder diffraction pattern of the Na salt was also generated.

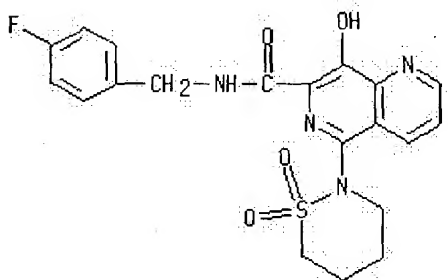
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eb c

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IT 410545-86-7P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide sodium salt
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (HIV integrase inhibitor; prepn. of the Na of a (dioxidothiazinanyl)naphthyridinecarboxamide HIV integrase inhibitor for treatment of AIDS)
 RN 410545-86-7 HCAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



Na

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text Cited References

ACCESSION NUMBER: 2003:154429 HCAPLUS
 DOCUMENT NUMBER: 138:205040
 TITLE: Process for preparing 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7-carboxamides, useful as HIV integrase inhibitors, by condensation of sulfonamides with 5-halo-8-(protected-hydroxy)naphthyridines in the presence of copper promoters and copper-chelating agents
 INVENTOR(S): Maligres, Peter E.; Askin, David
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 111 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016309	A1	20030227	WO 2002-US27151	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,				

TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

EP 1427726 A1 20040616 EP 2002-763531 20020813

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRIORITY APPLN. INFO.:

US 2001-313376P P 20010817

WO 2002-US27151 W 20020813

OTHER SOURCE(S): CASREACT 138:205040; MARPAT 138:205040

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB An improved prepn. of 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7-carboxamides I is disclosed [wherein: A = Ph nucleus or carbocycle-fused Ph nucleus; L = bond, C1-6 alkylene, C2-6 alkenylene, (C0-6 alkylene)-(C3-6 cycloalkylene)-(C0-6 alkylene); Z1 = H, (un)substituted alkyl, OH, halo, NO₂, cyano, CO₂H or certain derivs., etc.; n = 0-5; Z2 = H, aryl, aryloxy, aralkyl, aralkoxy, heteroaryl, heteroaryloxy, etc.; m = 0-2; R1, R2, R3 = H, (un)substituted alkyl or alkoxy, OH, halo, NO₂, cyano, (hetero)aryl(oxy), etc.; R4 = H, (un)substituted alkyl or aryl; R5 = (un)substituted alkyl or aryl; or R4R5 = atoms to form certain sultams; R6 = H, (un)substituted alkyl]. Compds. I are known inhibitors of HIV integrase, and are useful for treating HIV infection, preventing HIV infection, delaying the onset of AIDS, and treating AIDS. Unspecified representative compds. I had IC₅₀ values of < 100 μ M in an integrase inhibition assay, and inhibited acute HIV infection of T-lymphoid cells with IC₉₅ < 20 μ M in another assay (no addnl. data). In the key step, a 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid or acid ester, in which the hydroxy is derivatized with a protecting group, is reacted with a sulfonamide (e.g., an alkanesulfonamide, an N-alkyl alkanesulfonamide, or an alkanesultam) in the presence of a copper promoter and a chelating agent, followed by deprotection of the hydroxy group, and then amidation with an amine to obtain I. Alternatively, the hydroxy-protected 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (or ester) is first coupled with an amine, and the resulting carboxamide then reacts with a sulfonamide, followed by deprotection of the hydroxy group, to obtain I. For instance, 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester underwent NBS bromination in the 5-position (93%), followed by O-tosylation of the 8-OH group with p-MeC₆H₄SO₂Cl and Et₃N (97%). Coupling of the resultant 5-bromo-8-(p-toluenesulfonyloxy)-1,6-naphthyridine-7-carboxylic acid Me ester (II) with 1,4-butanediol in the presence of Cu₂O and 2,2-bipyridyl in degassed DMF at 120° gave product III in 78-83% yield, depending upon workup. Detosylation of III with NaOMe and MeOH in DMF (97%) and amidation of the ester with 4-fluorobenzylamine in EtOH at 75-77° (94%) gave the synthetic target IV as the mono-EtOH solvate. In two comparison runs using Cu₂O/pyridine, in which the 8-hydroxy group was not protected as the tosylate ester, coupling yields of only 19% and 42% were obtained.

IT 410544-56-8P, 5-Bromo-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

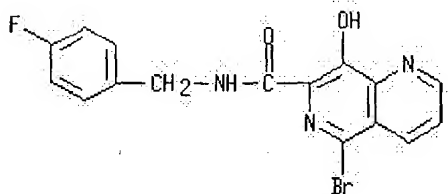
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of sulfonamidohydroxynaphthyridinecarboxamides via coupling of halo(protected-hydroxy)naphthyridines with sulfonamides

and sultams using Cu promoters and chelating agents)

RN 410544-56-8 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

Accession Number:

2003:154416 HCAPLUS

Document Number:

138:205067

Title:

Process for preparing sultams from alkanesulfonyl halides and haloalkylamines via intramolecular dianion alkylation of N-(haloalkyl)alkanesulfonamides, and application to the preparation of naphthyridinecarboxamides useful as HIV integrase inhibitors.

Inventor(s):

Lee, Jaemoon; Askin, David; Jensen, Mark S.; Zhong, Yong-Li

Patent Assignee(s):

Merck & Co., Inc., USA

Source:

PCT Int. Appl., 43 pp.

CODEN: PIXXD2

Document Type:

Patent

Language:

English

Family Acc. Num. Count:

1

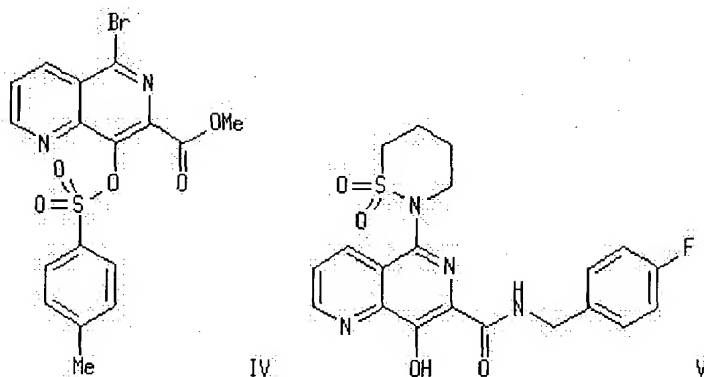
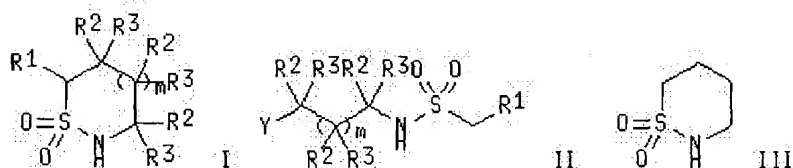
Patent Information:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016294	A1	20030227	WO 2002-US25666	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004186093	A1	20040923	US 2004-486526	20040210
PRIORITY APPLN. INFO.:				
			US 2001-313375P	P 20010817
			WO 2002-US25666	W 20020813

OTHER SOURCE(S):

CASREACT 138:205067; MARPAT 138:205067

GI



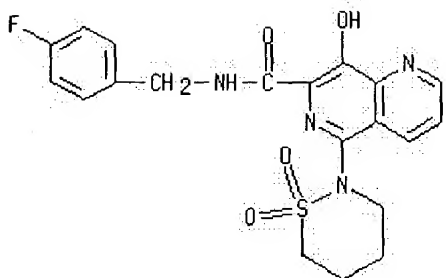
AB A new, superior prepn. of sultams is disclosed. In one embodiment an alkanesulfonyl halide reacts with a haloalkylamine to obtain the corresponding N-(haloalkyl)alkanesulfonamide, which is then cyclized in the presence of a deprotonating agent to give the sultam. The sultams include compds. useful as intermediates in the prepn. of naphthyridinecarboxamide compds. which are HIV integrase inhibitors. In particular, claims cover the prepn. of sultams I by treatment of precursors II with a deprotonating agent in an aprotic solvent [wherein: Y = leaving group without an active proton; R1 = H, C1-6 alkyl, (un)substituted Ph where substituents are halo or C1-6 alkyl; R2, R3 = H, C1-6 alkyl; m = 0-2]. The method offers high yields in 1 or 2 steps from relatively simple, com. available starting materials. For instance, a slurry of Br(CH₂)₃NH₂·HBr in THF at 0° was treated simultaneously dropwise with Et₃N and a soln. of MeSO₂Cl in THF at < 10° over 2 h, then warmed to 23° and filtered to give a soln. of Br(CH₂)₃NHSO₂Me in THF. This soln. was treated with 1,10-phenanthroline and iso-Pr₂NH, cooled to -30°, and treated with n-BuLi over 4 h at < -20°. Workup and isolation gave cryst. 1,4-butanesultam (III) in 53% yield on a 1.44 kg scale. Sultam III was coupled with bromide IV in the presence of Cu₂O and 2,2'-bipyridyl in 78% yield, followed by detosylation with NaOMe (97%) and amidation (94%) to give the target drug V, isolated as both an EtOH solvate and the Na salt.

IT **410544-95-5P**, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(target drug; prepn. of sultams from alkanesulfonyl halides and haloalkylamines via intramol. dianion alkylation of N-(haloalkyl)alkanesulfonamides, and use in prepn. of naphthyridinecarboxamide HIV integrase inhibitors)

RN **410544-95-5** HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

ACCESSION NUMBER: 2003:153659 HCAPLUS
DOCUMENT NUMBER: 139:300965
TITLE: Novel aryl diketo-containing inhibitors of HIV-1 integrase
AUTHOR(S): Pais, Godwin C. G.; Burke, Terrence R., Jr.
CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Center for Cancer Research, National Cancer Institute, National Institutes of Health, Frederick, MD, 21702-1201, USA
SOURCE: Drugs of the Future (2002), 27(11), 1101-1111
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

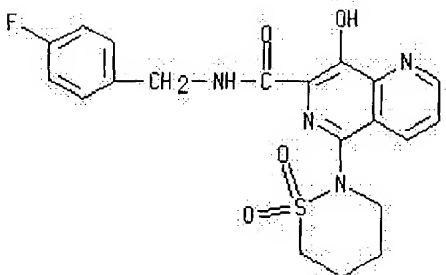
AB A review. HIV-1 integrase is a promising therapeutic target for the development of drugs to treat HIV infection. Aryl diketo-based analogs, disclosed independently by scientists from Merck and Shionogi pharmaceutical companies, are a unique class of compds. that exhibit potent integrase inhibition and display good antiviral effects in HIV-infected cells. The progress of Merck's L-870810 and Shionogi's S-1360 to phase II clin. trials has promised the inclusion of integrase inhibitors in "cocktail" combination therapies in the near future. This review presents a crit. overview of research related to this new class of integrase inhibitors.

IT 410544-95-5P, L 870810

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and structure-activity relationship of aryl diketo-contg. inhibitors of HIV-1 integrase)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

ACCESSION NUMBER: 2002:585058 HCAPLUS
DOCUMENT NUMBER: 138:231267
TITLE: Novel Inhibitors of Plasminogen Activator Inhibitor-1:
Development of New Templates From Diketopiperazines
AUTHOR(S): Wang, Shouming; Golec, Julian; Miller, Warren;
Milutinovic, Sandra; Folkes, Adrian; Williams,
Susannah; Brooks, Teresa; Hardman, Kevin; Charlton,
Peter; Wren, Stephen; Spencer, John
CORPORATE SOURCE: Department of Medicinal Chemistry, Xenova Ltd.,
Slough, Berkshire, SL1 4NL, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002),
12(17), 2367-2370
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:231267

AB Several isoquinoline-based templates were identified from the studies of the conformational effects of the diketopiperazine structures for PAI-1 inhibition. Moderate to good activity was retained with the elimination of unattractive characteristics in the diketopiperazine template.

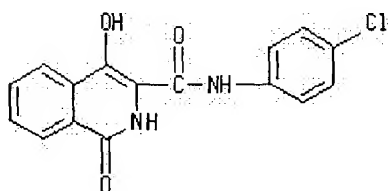
IT 501942-50-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and structure-activity relationship of diketopiperazines as novel inhibitors of plasminogen activator inhibitor-1)

RN 501942-50-3 HCAPLUS

CN 3-Isoquinolinecarboxamide, N-(4-chlorophenyl)-1,2-dihydro-4-hydroxy-1-oxo-
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 15 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

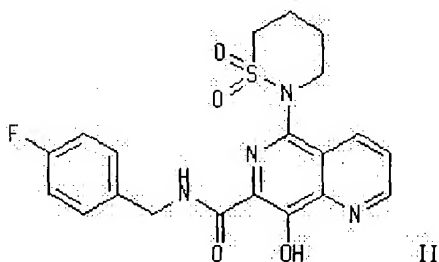
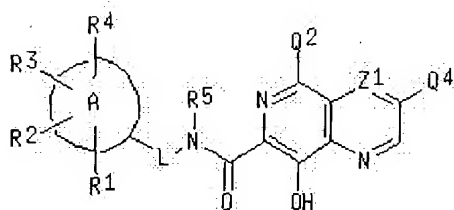
Full
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ACCESSION NUMBER: 2002:293653 HCAPLUS
DOCUMENT NUMBER: 136:309919
TITLE: Preparation of aza- and polyaza-naphthalenyl
carboxamides as HIV integrase inhibitors
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven
D.; Egbertson, Melissa; Wai, John S.; Zhuang,
Linghang; Embrey, Mark; Tran, Lekhanh; Melamed,
Jeffrey Y.; Langford, H. Marie; Guare, James P.;
Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle

S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 97 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030931	A2	20020418	WO 2001-US42564	20011009
WO 2002030931	A3	20021024		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002011874	A5	20020422	AU 2002-11874	20011009
EE 200300145	A	20030616	EE 2003-145	20011009
JP 2004511483	T2	20040415	JP 2002-534317	20011009
US 2003055071	A1	20030320	US 2001-973853	20011010
BG 107677	A	20031128	BG 2003-107677	20030326
NO 2003001672	A	20030605	NO 2003-1672	20030411
PRIORITY APPLN. INFO.:			US 2000-239707P	P 20001012
			US 2001-281656P	P 20010405
			WO 2001-US42564	W 20011009

OTHER SOURCE(S): MARPAT 136:309919
 GI



AB Title compds. I [A = Ph, indanyl, naphthyl, etc; L = single bond, alkyl, etc.; Z1 = N, CQ3; Q2-3 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc. or

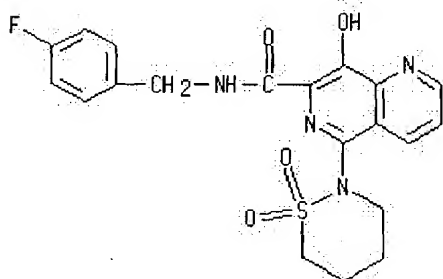
Q2-3 together with the carbon to which they are attached and the fused ring carbon atom attached therebetween form a 5-6-membered monocyclic heterocycle; Q4 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc.; R1-2 = H, alkyl, fluoroalkyl, alkoxy, halo, OH, etc.; R3-4 = H, halo, CN, OH, alkyl, fluoroalkyl, alkoxy, etc.; R5 = H, (un)substituted alkyl, Ph, etc.] were prep'd. For instance, the Mitsunobu product of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate and Me N-[(4-methylphenyl)sulfonyl]glycinate was cyclized to Me 8-hydroxy-1,6-naphthyridine-7-carboxylate (MeOH, NaOMe, 0°C, 1.5 h). The naphthyridine was converted to the 5-bromo deriv. (CH₂Cl₂, NBS) and the product condensed with 4-fluorobenzylamine to give the corresponding 7-carboxamide. Treatment of this intermediate with 1,4-butanediol (prepn. given; Pyridine, Cu₂O, reflux, 16 h) provided II. The sodium salt of II was characterized by DSC and XRPD and jet-milled to a particle size of 3 - 5 µ for use in oral dosage formulations. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS alone or in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating infection by HIV are also described.

IT **410544-95-5P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug; prep'n. of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors)

RN **410544-95-5** HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L12 ANSWER 16 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	Citing References
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ACCESSION NUMBER:

2002:293652 HCAPLUS

DOCUMENT NUMBER:

136:325531

TITLE:

Preparation of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors

INVENTOR(S):

Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA

SOURCE:

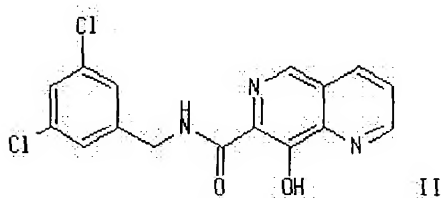
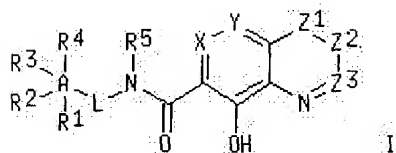
PCT Int. Appl., 434 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030930	A2	20020418	WO 2001-US31456	20011009
WO 2002030930	A3	20020829		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002011527	A5	20020422	AU 2002-11527	20011009
EP 1326865	A2	20030716	EP 2001-979582	20011009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003055071	A1	20030320	US 2001-973853	20011010
PRIORITY APPLN. INFO.:			US 2000-239707P	P 20001012
			US 2001-281656P	P 20010405
			WO 2001-US31456	W 20011009

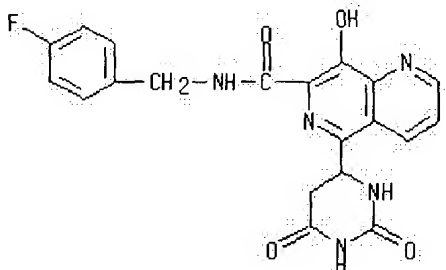
OTHER SOURCE(S): MARPAT 136:325531
 GI



AB Title compds., including certain quinoline carboxamide and naphthyridine carboxamide derivs., I [wherein A = (un)substituted Ph or Ph fused to a carbocycle; L = a single bond, or (un)substituted alkyl, alkenyl, alkylcycloalkylalkyl, or alkyl-M-alkyl; M = NRa, OCO, or CO2; X = N or CQ1; Y = N or CQ2, provided that X and Y are not both N; Z1 = N or CQ3; Z2 = N or CQ4; Z3 = N or CH; Q1-Q4 = independently H, halo, CN, NR1CR1O, or (un)substituted alkyl, alkoxy, alkenyl, alkynyl, carbamoyl, carboximidamido, amino, etc.; or C2Q2Q3 = (un)substituted 5- or 6-membered carbocycle or heterocycle; R1 and R2 = independently H, OH, halo, NO2, CN, or (un)substituted alkyl, alkenyl, alkoxy, amino, sulfonylamino, etc.; R3 and R4 = independently H, halo, CN, NO2, OH, alkenyl, or (un)substituted alkyl, amino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted alkyl or aryl; Ra = independently H or (halo)alkyl; or pharmaceutically acceptable salts thereof] were prepd. I are inhibitors of HIV integrase

and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics, or vaccines. For example, Mitsunobu reaction of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate with Me N-[(4-methylphenyl)sulfonyl]glycinate, followed by cyclization in the presence on NaOMe, afforded Me 8-hydroxy-1,6-naphthyridine-7-carboxylate. Coupling with 3,5-dichlorobenzylamine in toluene gave II. Representative compds. were assayed for the inhibition of acute HIV infection of T-lymphoid cells and demonstrated IC95 values of < 20 μ M.

IT 410544-69-3P, N-(4-Fluorobenzyl)-5-(2,6-dioxohexahydropyrimidin-4-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide
 RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)
 RN 410544-69-3 HCAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-2,6-dioxo-4-pyrimidinyl)-8-hydroxy- (9CI) (CA INDEX NAME)



L12 ANSWER 17 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

References

ACCESSION NUMBER: 2002:51455 HCAPLUS
 DOCUMENT NUMBER: 136:118392
 TITLE: Heterocycle carboxamides as antiviral agents
 INVENTOR(S): Schnute, Mark E.; Vaillancourt, Valerie A.; Larsen, Scott D.
 PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
 SOURCE: PCT Int. Appl., 60 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2002004443</u>	A2	20020117	<u>WO 2001-US16492</u>	20010625
<u>WO 2002004443</u>	A3	20030912		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,

UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,
KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR,
IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
GW, ML, MR, NE, SN, TD, TG

US 2002019397	A1	20020214	US 2001-887620	20010622
US 6730682	B2	20040504		
AU 2001069698	A5	20020121	AU 2001-69698	20010625
EP 1363907	A2	20031126	EP 2001-948225	20010625

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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

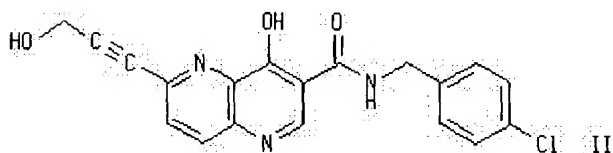
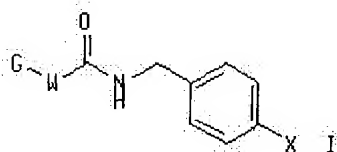
JP 2004502769	T2	20040129	JP 2002-509308	20010625
US 2004180910	A1	20040916	US 2004-812229	20040329

PRIORITY APPLN. INFO.:

US 2000-217556P	P	20000712
US 2001-887620	A3	20010622
WO 2001-US16492	W	20010625

OTHER SOURCE(S): MARPAT 136:118392

GI



AB The title compds. [I; X = Cl, Br, F, CN, NO₂; G = alkyl partially unsatd. and substituted by OH, or alkyl substituted by NR₁R₂ or 4-tetrahydropyran; R₁ = substituted alkyl; R₂ = H, alkyl; or NR₁R₂ = (un)substituted morpholino; W = naphthyridine, pyrano[2,3-c]pyridine, isochromene, etc.], useful as antiviral agents, in particular, as agents against viruses of the herpes family, were claimed. General procedures for prepn. of compds. I such as the naphthyridinecarboxamide II were given (no data for intermediates and final compds.).

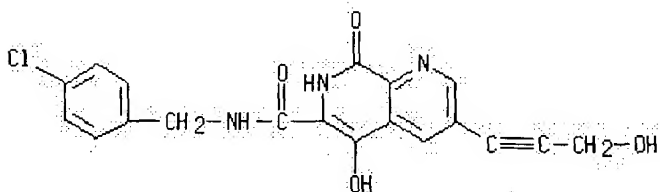
IT 389796-61-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(heterocycle carboxamides as antiviral agents)

RN 389796-61-6 HCAPLUS

CN 1,7-Naphthyridine-6-carboxamide, N-[(4-chlorophenyl)methyl]-7,8-dihydro-5-hydroxy-3-(3-hydroxy-1-propynyl)-8-oxo- (9CI) (CA INDEX NAME)



h

eb c

g cg b

cg

eb

L12 ANSWER 18 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	References
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ACCESSION NUMBER: 2001:152650 HCAPLUS
 DOCUMENT NUMBER: 134:207831
 TITLE: Preparation, composition and use of heterocyclic aromatic amides as fungicides
 INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene Mae; Henry, Matthew James; Adamski, Butz Jenifer Lynn; Gajewski, Robert Peter
 PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA
 SOURCE: PCT Int. Appl., 200 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014339	A2	20010301	WO 2000-US21523	20000804
WO 2001014339	A3	20011115		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6521622	B1	20030218	US 2000-620662	20000720
AU 2000065267	A5	20010319	AU 2000-65267	20000804
US 6355660	B1	20020312	US 2000-632930	20000804
EP 1204643	A2	20020515	EP 2000-952599	20000804
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EP 1234823	A2	20020828	EP 2002-9583	20000804
EP 1234823	A3	20030618		
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EP 1234824	A1	20020828	EP 2002-9584	20000804
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EP 1234825	A3	20030618		
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EP 1234826	A2	20020828	EP 2002-9586	20000804
EP 1234826	A3	20030618		
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EP 1234827	A3	20030618		
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TR 200200409	T2	20030321	TR 2002-200200409	20000804
BR 2000013469	A	20030429	BR 2000-13469	20000804
JP 2003527324	T2	20030916	JP 2001-518428	20000804
US 2002177578	A1	20021128	US 2001-22413	20011213
US 2003018052	A1	20030123	US 2001-22207	20011213
US 2003018012	A1	20030123	US 2001-22511	20011213
US 6706740	B2	20040316		
US 2003022902	A1	20030130	US 2001-22483	20011213
US 2003022903	A1	20030130	US 2001-23497	20011213
ZA 2002000435	A	20030117	ZA 2002-435	20020117
US 2004034025	A1	20040219	US 2002-307844	20021202
US 2004048864	A1	20040311	US 2002-307710	20021202
PRIORITY APPLN. INFO.:			US 1999-149977P	P 19990820
			US 1999-150248P	P 19990823
			US 2000-620662	A 20000720
			US 1999-144676P	P 19990720
			EP 2000-952599	A3 20000804
			US 2000-632930	A3 20000804
			WO 2000-US21523	W 20000804

OTHER SOURCE(S): MARPAT 134:207831
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

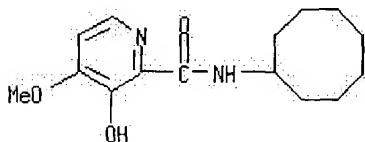
AB Title compds. [I; wherein X1-X4 independently = O, S, NR1, N, CR2, bond; R1 = H, C1-3 alkyl, C2-3 alkenyl, C2-3 alkynyl, OH, CHF2, C1-4 alkoxy; R2 = H, F, Cl, Br, CN, OH, C1-3 alkyl, C1-3 haloalkyl cyclopropyl, C1-3 alkoxy; Z = O, S, NOH, NOR3; R3 = C1-3 alkyl; A = C1-14 alkyl, C1-14 alkynyl, C1-14 cycloalkyl, aryl, heteroaryl, Q; M = H, Si(t-Bu)Me2, Si(Ph)Me2, SiEt3, CZR4, SO2R5; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; R5 = aryl, heteroaryl, C1-6 alkyl, C2-6 alkenyl, C3-6 alkenyl, C3-6 alkynyl, C3-6 cycloalkyl; X, Y independently = O, S; W = O, CH2, bond; R = C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C3-8 cycloalkyl, aryl, heteroaryl; R11 = H, C1-3 alkyl, C2-5 alkenyl, C2-5 alkynyl; R10 = H, R, OR, OCOR, OCOOR; R8, R9 independently = H, C1-6 alkyl, C2-6 alkenyl; R6, R7 independently = H, C1-6 alkyl, C2-6 alkenyl, C2-5 alkynyl, C3-6 cycloalkyl] are prepd. as fungicides involving application methods of effective usage of title compds. to control fungi, particularly plant pathogens and wood decaying fungi. The invention also encompasses hydrates, salts and complexes thereof. The title compd. II was prepd. and tested as fungicide.

IT 267415-93-0P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and fungicidal activity of heterocyclic arom. amides)

RN 267415-93-0 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



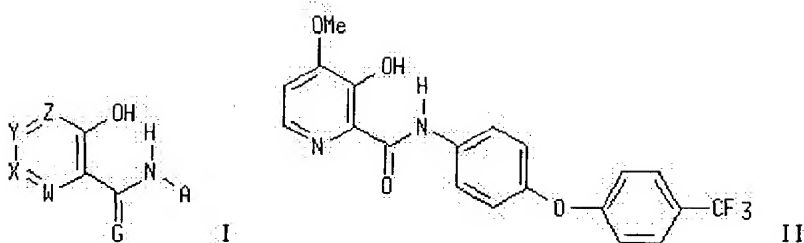
L12 ANSWER 19 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	References
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ACCESSION NUMBER: 2001:63978 HCAPLUS
 DOCUMENT NUMBER: 134:131431
 TITLE: Fungicidal heterocyclic aromatic amides and their compositions, methods of use and preparation
 INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene Mae; Gajewski, Robert Peter
 PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA
 SOURCE: PCT Int. Appl., 159 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005769	A2	20010125	WO 2000-US19794	20000720
WO 2001005769	A3	20011122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1196388	A2	20020417	EP 2000-950470	20000720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003528806	T2	20030930	JP 2001-511430	20000720
BR 2000012615	A	20040330	BR 2000-12615	20000720
US 6355660	B1	20020312	US 2000-632930	20000804
US 2002177578	A1	20021128	US 2001-22413	20011213
US 2003018052	A1	20030123	US 2001-22207	20011213
US 2003018012	A1	20030123	US 2001-22511	20011213
US 6706740	B2	20040316		
US 2003022902	A1	20030130	US 2001-22483	20011213
US 2003022903	A1	20030130	US 2001-23497	20011213
ZA 2002000436	A	20040302	ZA 2002-436	20020117
US 2004034025	A1	20040219	US 2002-307844	20021202
US 2004048864	A1	20040311	US 2002-307710	20021202
PRIORITY APPLN. INFO.:				
			US 1999-144676P	P 19990720
			US 1999-149977P	P 19990820
			US 1999-150248P	P 19990823
			US 2000-620662	A3 20000720
			WO 2000-US19794	W 20000720
			US 2000-632930	A3 20000804

OTHER SOURCE(S): MARPAT 134:131431
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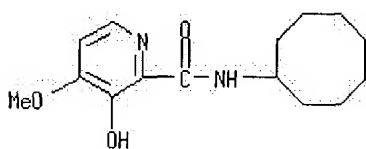
AB Title compds. I [W, X, Y, Z are selected from S, O, NR1, N, CR2 or bond and comprise a 5-6 membered (un)substituted heterocyclic ring; R1 = H, alkyl, alkenyl, alkynyl, OH, acyloxy, alkoxy, CHF2, cyclopropyl, or alkoxy; R2 = H, halo, CN, OH, alkyl, haloalkyl, cyclopropyl, alkoxy, haloalkoxy, etc.; G = O, S or NOR3 where R3 = H or alkyl; A = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, unsatd. cycloalkyl, heterocycle, bi or tricyclic ring system which may contain heteroatoms, aryl or heteroaryl, etc.] bearing a hydroxy group adjacent to the amide functionality are prepd. and disclosed as antifungal agents, particularly for plants. Thus, pyridinyl carboxamide II was prepd. via amidation of 3-benzyloxy-6-bromo-4-methoxypyridin-2-carbonyl chloride with 4-(4-trifluoromethylphenoxy)aniline with subsequent deprotection. The preferred fungicidal compn. consists of a compd. of formula I with a phytol. acceptable carrier. Activity has been demonstrated against a variety of fungi, e.g., *Plasmopara viticola* (Downy Mildew of Grape), *Phytophthora infestans* (Late Blight of Tomato), and *Venturia inaequalis* (Apple Scab). I is both useful for eradication and prevention of fungal attack.

IT **267415-93-0P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and fungicidal activity of heterocyclic arom. amides)

RN 267415-93-0 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



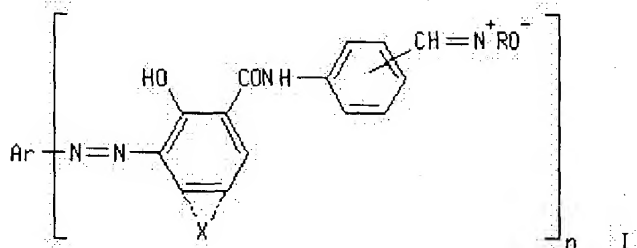
L12 ANSWER 20 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text References

ACCESSION NUMBER: 1996:128500 HCAPLUS
 DOCUMENT NUMBER: 124:246406
 TITLE: Electrophotographic photoreceptor containing disazo nitroso pigment as charge-generating agent
 INVENTOR(S): Hanatani, Yasuyuki; Kimoto, Keizo; Iwasaki, Hiroaki; Sakai, Hirosuke; Tanaka, Tomoki; Sugase, Ayako
 PATENT ASSIGNEE(S): Mita Industrial Co Ltd, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07325418	A2	19951212	JP 1994-118728	19940531
PRIORITY APPLN. INFO.:			JP 1994-118728	19940531

GI



AB The photoreceptor contains a disazo nitroso pigment I (Ar = 2-4-valent arom. linking group; R = H, alkyl, aryl; X = org. residue to form arom. carbocycle or heterocycle with benzene ring; n = 2-4) as a charge-generating agent. The photoreceptor shows high sensitivity and repeating durability.

IT 174778-97-3

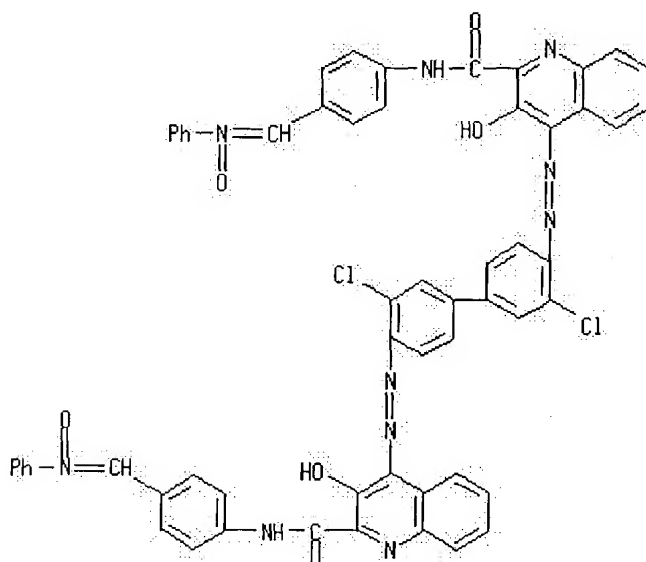
RL: DEV (Device component use); USES (Uses)

(charge-generating agent; electrophotog. photoreceptor contg. disazo nitroso pigment as charge-generating agent)

RN 174778-97-3 HCAPLUS

CN 2-Quinolinecarboxamide, 4,4'-[(3,3'-dichloro[1,1'-biphenyl]-4,4'-diyl)bis(azo)]bis[3-hydroxy-N-[4-[(oxidophenylimino)methyl]phenyl]- (9CI)
(CA INDEX NAME)

PAGE 1-A



PAGE 2-A

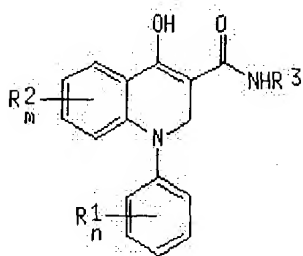
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L12 ANSWER 21 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

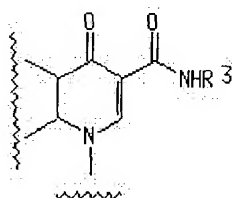
Full Text	Chem References
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ACCESSION NUMBER: 1989:135097 HCAPLUS
 DOCUMENT NUMBER: 110:135097
 TITLE: Preparation of 1-aryl-3-quinolinecarboxamide as
 analgesics and antiinflammatory agents
 INVENTOR(S): Glamkowski, Edward J.; Hamer, R. Richard L.
 PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA
 SOURCE: U.S., 14 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4786644	A	19881122	US 1987-125971	19871127
US 4966906	A	19901030	US 1988-218783	19880714
EP 317991	A2	19890531	EP 1988-119541	19881124
EP 317991	A3	19901107		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DK 8806586	A	19890528	DK 1988-6586	19881125
JP 02138260	A2	19900528	JP 1988-296374	19881125
US 4952588	A	19900828	US 1989-401386	19890831
PRIORITY APPLN. INFO.:			US 1987-125971	19871127
			US 1988-218783	19880714
OTHER SOURCE(S):		CASREACT 110:135097; MARPAT 110:135097		
GI				



I



II

AB The title compds. [I; R1, R2 = halo, alkyl, alkoxy; R3 = (substituted) Ph, pyridyl, pyrimidyl, pyrazinyl, triazinyl, thiazolyl, thiadiazolyl, isoxazolyl oxadiazolyl, quinolyl, benzothiazolyl; m, n = 0, 1] oxo derivs. II, and isoquinoline analogs, useful as inflammation inhibitors and analgesics, were prepd. 2,3-Dihydro-1-phenyl-4(1H)-quinolone was stirred 1 h with NaH in C6H6. (EtO)2CO was added and the mixt. was refluxed 5 h. The product and 2-aminopyridine in PhMe were refluxed 16 h through a soxhlet extractor contg. 4 l mol. sieves to give 1,2-dihydro-4-hydroxy-1-phenyl-N-(2-pyridyl)-3-quinolinecarboxamide. I inhibited carrageenan-induced rat paw edema by 23-29% at 100 mg/kg orally.

IT 119686-91-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as analgesic and antiinflammatory)

RN 119686-91-8 HCAPLUS

CN 3-Isoquinolinecarboxamide, N-(3-chlorophenyl)-4-hydroxy-1-phenyl- (9CI)

h

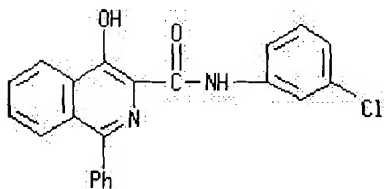
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g cg b

cg

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(CA INDEX NAME)

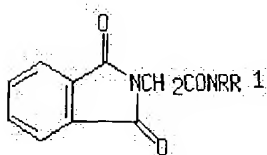


L12 ANSWER 22 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

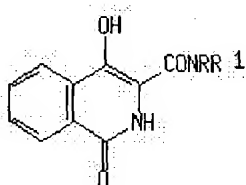
Full
Text

References

ACCESSION NUMBER: 1985:615133 HCAPLUS
 DOCUMENT NUMBER: 103:215133
 TITLE: 4-Hydroxy-1(2H)-isoquinolone-3-carboxamides.
 Synthesis and properties
 AUTHOR(S): Schapira, Celia B.; Abasolo, Maria I.; Perillo, Isabel A.
 CORPORATE SOURCE: Fac. Farm. Bioquim., Univ. Nac. Buenos Aires, Buenos Aires, Argent.
 SOURCE: Journal of Heterocyclic Chemistry (1985), 22(2), 577-81
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 103:215133
 GI



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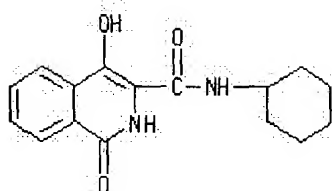
AB Reaction of some α -phthalimidoacetamides I ($R = H$, alkyl, Ph, 2-pyridyl; $R_1 = H$, Et, Ph) with NaOEt was carried out under drastic conditions. I ($R = Me$, Me_2CH_2 cyclohexyl, $R_1 = H$; $R = Me$, Et, $R_1 = Ph$; $R = R_1 = Et$) afforded isoquinolone-3-carboxamides II, while I ($R = Ph$, 2-pyridyl; $R_1 = H$) afforded 2-(HO_2C) $C_6H_4CONHCH_2CONHR$ together with the expected isoquinolones II. I ($R = R_1 = H$) gave phthalimide as the major product. Compds. II are acidic and unstable in basic media. The most acidic compds. had the longest half-life.

IT 99275-63-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 99275-63-5 HCAPLUS

CN 3-Isoquinolinecarboxamide, N-cyclohexyl-1,2-dihydro-4-hydroxy-1-oxo- (9CI)
 (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 00:39:39 ON 08 NOV 2004)

FILE 'REGISTRY' ENTERED AT 00:39:44 ON 08 NOV 2004

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 L2 STRUCTURE UPLOADED
 L3 STRUCTURE UPLOADED
 L4 36 S L3
 L5 666 S L3 FULL
 L6 STRUCTURE UPLOADED
 L7 50 S L6
 L8 1060 S L6 FULL
 L9 394 S L8 NOT L5

FILE 'HCAPLUS' ENTERED AT 00:57:20 ON 08 NOV 2004

L10 23 S L9
 L11 1 S L10 AND IMAMURA, K?/AU
 L12 22 S L10 NOT L11
 L13 0 S L12 AND MITOMO, K?/AU
 L14 0 S L12 AND YAMADA, N?/AU
 L15 0 S L12 AND YAMAMOTO, K?/AU
 L16 0 S L12 AND TERAOKA, T?/AU
 L17 0 S L12 AND SAKANAKA, O?/AU
 L18 0 S L12 AND KURIHARA, H?/AU
 L19 0 S L12 AND TANIGUCHI, M?/AU

=> file caold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	128.36	450.75

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-16.10	-16.10

FILE 'CAOLD' ENTERED AT 01:02:01 ON 08 NOV 2004

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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(FILE 'HOME' ENTERED AT 00:39:39 ON 08 NOV 2004)

FILE 'REGISTRY' ENTERED AT 00:39:44 ON 08 NOV 2004

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L1      STRUCTURE UPLOADED
L2      STRUCTURE UPLOADED
L3      STRUCTURE UPLOADED
L4      36 S L3
L5      666 S L3 FULL
L6      STRUCTURE UPLOADED
L7      50 S L6
L8      1060 S L6 FULL
L9      394 S L8 NOT L5
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FILE 'HCAPLUS' ENTERED AT 00:57:20 ON 08 NOV 2004

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L10     23 S L9
L11     1 S L10 AND IMAMURA, K?/AU
L12     22 S L10 NOT L11
L13     0 S L12 AND MITOMO, K?/AU
L14     0 S L12 AND YAMADA, N?/AU
L15     0 S L12 AND YAMAMOTO, K?/AU
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L17     0 S L12 AND SAKANAKA, O?/AU
L18     0 S L12 AND KURIHARA, H?/AU
L19     0 S L12 AND TANIGUCHI, M?/AU
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=> s l9

L20 0 L9

=> file hcaplus

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	ENTRY	SESSION
FULL ESTIMATED COST	0.42	451.17

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-16.10

FILE 'HCAPLUS' ENTERED AT 01:02:10 ON 08 NOV 2004

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FILE COVERS 1907 - 8 Nov 2004 VOL 141 ISS 20
 FILE LAST UPDATED: 7 Nov 2004 . (20041107/ED)

This file contains CAS Registry Numbers for easy and accurate
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FILE 'REGISTRY' ENTERED AT 00:39:44 ON 08 NOV 2004

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 L2 STRUCTURE UPLOADED
 L3 STRUCTURE UPLOADED
 L4 36 S L3
 L5 666 S L3 FULL
 L6 STRUCTURE UPLOADED
 L7 50 S L6
 L8 1060 S L6 FULL
 L9 394 S L8 NOT L5

FILE 'HCAPLUS' ENTERED AT 00:57:20 ON 08 NOV 2004

L10 23 S L9
 L11 1 S L10 AND IMAMURA, K?/AU
 L12 22 S L10 NOT L11
 L13 0 S L12 AND MITOMO, K?/AU
 L14 0 S L12 AND YAMADA, N?/AU
 L15 0 S L12 AND YAMAMOTO, K?/AU
 L16 0 S L12 AND TERAOKA, T?/AU
 L17 0 S L12 AND SAKANAKA, O?/AU
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FILE 'CAOLD' ENTERED AT 01:02:01 ON 08 NOV 2004

L20 0 S L9

FILE 'HCAPLUS' ENTERED AT 01:02:10 ON 08 NOV 2004

=> s l9/thu

23 L9
 632063 THU/RL
 L21 16 L9/THU
 (L9 (L) THU/RL)

=> s l21 and fung?

198482 FUNG?
 L22 0 L21 AND FUNG?

=> s l21 and plant

723532 PLANT
 403054 PLANTS
 896353 PLANT
 (PLANT OR PLANTS)
 L23 0 L21 AND PLANT

=> s l21 and infect?

336435 INFECT?
 L24 10 L21 AND INFECT?

=> d 124, ibib abs hitstr, 1-10

L24 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

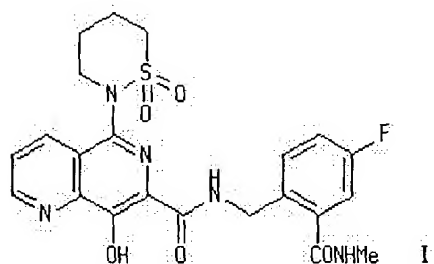
Full Text	Chemical References
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ACCESSION NUMBER: 2004:780495 HCAPLUS
 DOCUMENT NUMBER: 141:296002
 TITLE: Preparation of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide potassium salt as an HIV integrase inhibitor
 INVENTOR(S): Palucki, Michael; Askin, David; Angelico, Vincent J.; Wenslow, Robert M., Jr.
 PATENT ASSIGNEE(S): Merck & Co. Inc., USA
 SOURCE: PCT Int. Appl., 49 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080402	A2	20040923	WO 2004-US6968	20040308
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
 GI

US 2003-453896P P 20030312



AB A potassium salt of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide (I) was prepd. The compd. I potassium salt is an HIV integrase inhibitor useful for preventing or treating HIV **infection**, for delaying the onset of AIDS, and for treating AIDS. Thus, a 50-L flask equipped with a mech. stirrer, temp. probe, and nitrogen inlet was charged with dry DMF (16.3 L), 5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (1.735 kg, 6.0 wt.% water), anhyd. HOBt (341 g), N-methyl-2-amino-5-fluorobenzenecarboxamide hydrochloride (1.32 kg), and

NMM (456 g, 500 mL). The suspension was agitated at 20° until a homogeneous soln. was obtained and then cooled to 0-5°, treated with EDC (1.45 kg), and aged until complete conversion of the carboxylic acid was reached as detd. by HPLC (<0.5% the carboxylic acid, ~16 h) to give, after workup and drying, I (2.16 kg, 88% isolated yield, purity: >99.0 A% by HPLC assay). A 100 L cylinder equipped with a mech. stirrer, temp. probe, addn. funnel, and nitrogen inlet was charged with 4.2 kg I and EtOH (84 L) and then heated to 60°. To the resulting yellow suspension was added 866 mL 45 wt.% aq. KOH and the resulting yellow soln. was filtered through a 10 µm line filter into an adjacent 100 L flask. The soln. was seeded and heated at 60° for 3 h and then allowed to cool to room temp. overnight. The resulting slurry was cooled to 3-4°, filtered, and washed with 4 X 2 L of cold EtOH. The filter pot was placed under vacuum with a N stream to obtain I potassium salt as a cryst. ethanolate salt (purity >99.6 A% by HPLC assay, 6.8 wt.% ethanol by GC, and 0.5 wt.% water by Karl Fisher titrn.).

IT 761452-50-0P

RL: IMF (Industrial manufacture); PRP (Properties); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(X-ray diffraction anal.; prepn. of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide potassium salt as HIV integrase inhibitor)

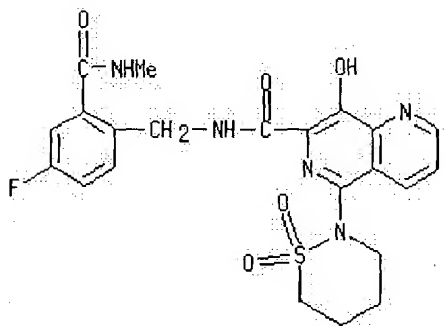
RN 761452-50-0 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 606080-42-6

CMF C22 H22 F N5 O5 S



CM 2

CRN 64-17-5

CMF C2 H6 O

H₃C-CH₂-OH

L24 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

Chemical
References

ACCESSION NUMBER: 2004:587781 HCAPLUS

DOCUMENT NUMBER: 141:253713

TITLE: Integrase Inhibitors and Cellular Immunity Suppress
Retroviral Replication in Rhesus Macaques

AUTHOR(S): Hazuda, Daria J.; Young, Steven D.; Guare, James P.; Anthony, Neville J.; Gomez, Robert P.; Wai, John S.; Vacca, Joseph P.; Handt, Larry; Motzel, Sherri L.; Klein, Hilton J.; Dornadula, Geethanjali; Danovich, Robert M.; Witmer, Marc V.; Wilson, Keith A. A.; Tussey, Lynda; Schleif, William A.; Gabryelski, Lori S.; Jin, Lixia; Miller, Michael D.; Casimiro, Danilo R.; Emini, Emilio A.; Shiver, John W.

CORPORATE SOURCE: Dep. Biological Chem., Merck Res. Laboratories, West Poing, PA, 19486, USA

SOURCE: Science (Washington, DC, United States) (2004), 305(5683), 528-532
CODEN: SCIEAS; ISSN: 0036-8075

PUBLISHER: American Association for the Advancement of Science

DOCUMENT TYPE: Journal

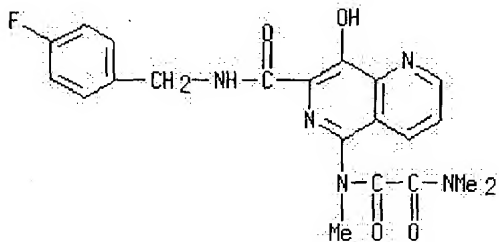
LANGUAGE: English

AB The authors describe the efficacy of L-870812, an inhibitor of HIV-1 and SIV integrase, in rhesus macaques **infected** with the simian-human immunodeficiency virus (SHIV) 89.6P. When initiated before CD4 cell depletion, L-870812 therapy mediated a sustained suppression of viremia, preserving CD4 levels and permitting the induction of virus-specific cellular immunity. L-870812 was also active in chronic **infection**; however, the magnitude and durability of the effect varied in conjunction with the pretreatment immune response and viral load. These studies demonstrate integrase inhibitor activity in vivo and suggest that cellular immunity facilitates chemotherapeutic efficacy in retroviral **infections**.

IT 410545-90-3, L 870812
RL: BSU (Biological study, unclassified); **THU (Therapeutic use)**;
BIOL (Biological study); USES (Uses)
(integrase inhibitors and cellular immunity suppress retroviral replication in rhesus macaques)

RN 410545-90-3 HCAPLUS

CN Ethanediamide, [7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]trimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

ACCESSION NUMBER: 2003:836794 HCAPLUS

DOCUMENT NUMBER: 139:341742

TITLE: Pharmaceutical compositions containing an HIV integrase inhibitor and a nonionic surfactant

INVENTOR(S): Robertson, Sandra; Cruanes, Maria T.; Karaborni, Sami; Ostovic, Drazen; Fu, Xi-yong; Kamali, Ashkan; Panmai, Santipharp; Plank, Russell V.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086319	A2	20031023	WO 2003-US7517	20030313
WO 2003086319	A3	20040805		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-371296P P 20020410

OTHER SOURCE(S): MARPAT 139:341742

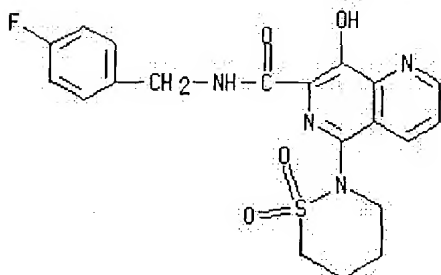
AB Pharmaceutical compns. comprise a therapeutically effective amt. of an 8-hydroxy-1,6-naphthyridine-7-carboxamide compd. or a pharmaceutically acceptable salt thereof and a nonionic surfactant. Compds. of this invention are HIV integrase inhibitors, and the pharmaceutical compns. are useful for preventing or treating HIV **infection** or for preventing, treating, or delaying the onset of AIDS. The pharmaceutical compns. are typically administered orally, for example, in the form of capsules or tablets, and can provide good oral bioavailability. Methods for prepg. encapsulated and tableted forms of the pharmaceutical compns. are described.

IT 410544-95-5P

RL: PKT (Pharmacokinetics); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (oral compns. contg. HIV integrase inhibitor and nonionic surfactant)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L24 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

Chemical
References

ACCESSION NUMBER: 2003:757475 HCAPLUS

DOCUMENT NUMBER: 139:276879

TITLE: Preparation of N-(substituted benzyl)-8-hydroxy-1,6-

naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV **infection**/AIDS

INVENTOR(S): Egbertson, Melissa; Langford, H. Marie; Melamed, Jeffrey Y.; Wai, John S.; Han, Wei; Perlow, Debbie S.; Zhuang, Linghang; Embrey, Mark; Young, Steven D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077857	A2	20030925	WO 2003-US7671	20030312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2002-364929P P 20020315

OTHER SOURCE(S): MARPAT 139:276879

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

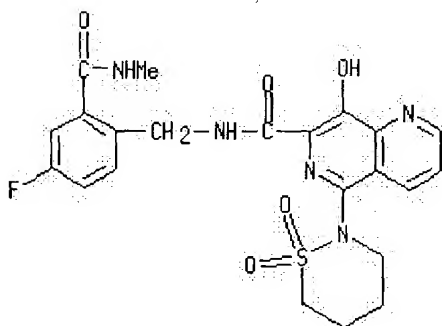
AB Title compds. I [wherein R1 = H or F; R2 = carbamoylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopyrrolidin-1-yl and analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidiny, pyrrolidinyl, piperidinyl, morpholino; R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/methylthioxy/methyloxy/amino/alkylamino/alkenyl), (un)substituted 5- to 7-membered satd. heterocyclic ring contg. 1 to 4 heteroatoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutically acceptable salts] were prepd. as HIV-integrase inhibitors for preventing and treating **infection** by HIV and for preventing, treating or delaying the onset of AIDS. For example, II•Na was prepd. via TEA-acylation of III•HCl (prepn. given) with 5-(1,1-dioxo-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (IV) in DMF at room temp. overnight, followed by sodium salt formation by reaction with NaOH at room temp. for 30 min. IV was prepd. from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester in 5 steps by NBS-bromination in CHCl₃, O-tosylation in CHCl₃, condensation of the bromide with 1,4-butanediol in DMF in the presence of Cu₂O/2,2'-bipyridyl at 120° for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60°. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC₅₀ < 0.5 µM. The same compds. inhibited HIV replication in T-lymphoid cells with IC₉₅ < 5 µM. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or

vaccines.

IT **606080-42-6P**, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
 RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN **606080-42-6 HCAPLUS**

CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L24 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

Library
References

ACCESSION NUMBER: 2003:757471 HCAPLUS

DOCUMENT NUMBER: 139:276878

TITLE: Preparation of N-(substituted benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV **infection/AIDS**

INVENTOR(S): Egbertson, Melissa; Langford, H. Marie; Melamed, Jeffrey Y.; Wai, John S.; Han, Wei; Perlow, Debbie S.; Zhuang, Linghang; Embrey, Mark

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077850	A2	20030925	WO 2003-US7448	20030312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2002-364929P P 20020315

OTHER SOURCE(S): MARPAT 139:276878

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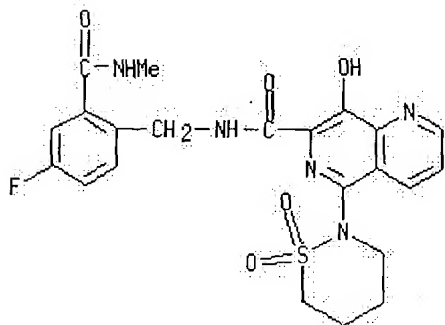
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = H or F; R2 = carbamoylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopyrrolidin-1-yl and analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidiny, pyrrolidinyl, piperidinyl, morpholino; R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/methylthioxy/methyloxy/amino/alkylamino/alkenyl), (un)substituted 5- to 7-membered satd. heterocyclic ring contg. 1 to 4 heteroatoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutical acceptable salts] were prepd. as HIV-integrase inhibitors for preventing and treating **infection** by HIV and for preventing, treating or delaying the onset of AIDS. For example, II•Na was prepd. via TEA-acylation of III•HCl (prepn. given) with 5-(1,1-dioxo-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (IV) in DMF at room temp. overnight, followed by sodium salt formation by reaction with NaOH at room temp. for 30 min. IV was prepd. from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester in 5 steps by NBS-bromination in CHCl₃, O-tosylation in CHCl₃, condensation of the bromide with 1,4-butanediol in DMF in the presence of Cu₂O/2,2'-bipyridyl at 120° for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60°. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC₅₀ < 0.5 μM. The same compds. inhibited the replication of HIV in T-lymphoid cells with IC₉₅ < 5 μM. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT **606080-42-6P**, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
 RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN **606080-42-6** HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



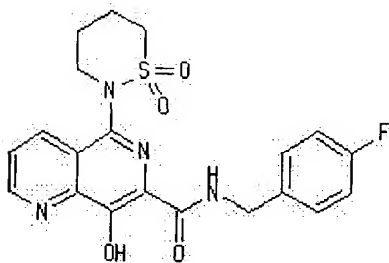
L24 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN



ACCESSION NUMBER: 2003:154434 HCAPLUS
 DOCUMENT NUMBER: 138:205068
 TITLE: Process for the preparation of a Na salt of a
 5-(dioxidothiazinanyl)naphthyridine-7-carboxamide HIV
 integrase inhibitor
 INVENTOR(S): Anthony, Neville J.; Xu, Wei; Lepore, John V.;
 Mahajan, Amar J.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 40 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2003016315</u>	A1	20030227	<u>WO 2002-US25675</u>	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
<u>EP 1430058</u>	A1	20040623	<u>EP 2002-794880</u>	20020813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
<u>US 2003119823</u>	A1	20030626	<u>US 2002-218537</u>	20020814
PRIORITY APPLN. INFO.: <u>US 2001-313373P</u> P 20010817 <u>WO 2002-US25675</u> W 20020813				

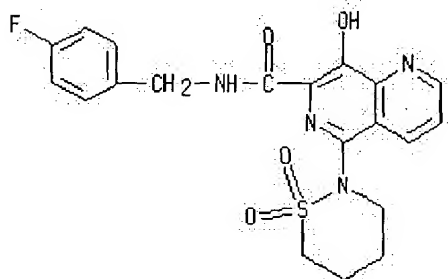
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AB The cryst. sodium salt I•Na was prepd. as an HIV integrase inhibitor
 for preventing or treating HIV **infection**, for delaying the onset of
 AIDS, and for treating AIDS (no data). I•Na exhibited superior oral
 bioavailability and improved pharmacokinetics (e.g., improved C_{max} and
 AUC) in rats and dogs relative to amorphous and cryst. I (no data). For
 example, 5-bromo-8-(p-toluenesulfonyloxy)-1,6-naphthyridine-7-carboxylic
 acid Me ester was coupled with 1,4-butane sultam (prepn. of starting

materials given) in the presence of Cu₂O and 2,2'-bipyridyl in DMF (78%). Deprotection of the alc. with NaOMe in MeOH (97%), followed by amidation with 4-fluorobenzylamine in EtOH gave I•EtOH (94%). The cryst. Na salt of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide (I•Na) was formed by treating the monoethonalate with 5M NaOH in a mixt. of EtOH and H₂O. I•Na was analyzed by differential scanning calorimetry at a heating rate of 10°C/min in an open cup under flowing nitrogen and was found to have a DSC curve exhibiting an endotherm with a peak temp. of about 348° and an assocd. heat of fusion of about 45 J/gm followed by an exotherm with a peak temp. of about 352° and an assocd. heat of fusion of about 45 J/gm. The X-ray powder diffraction pattern of the Na salt was also generated.

IT **410545-86-7P**, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide sodium salt
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (HIV integrase inhibitor; prepn. of the Na of a (dioxidothiazinanyl)naphthyridinecarboxamide HIV integrase inhibitor for treatment of AIDS)
 RN **410545-86-7** HCAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



Na

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text
 Bibliography

ACCESSION NUMBER: 2003:154429 HCAPLUS
 DOCUMENT NUMBER: 138:205040
 TITLE: Process for preparing 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7-carboxamides, useful as HIV integrase inhibitors, by condensation of sulfonamides with 5-halo-8-(protected-hydroxy)naphthyridines in the presence of copper promoters and copper-chelating agents
 INVENTOR(S): Maligres, Peter E.; Askin, David
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 111 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

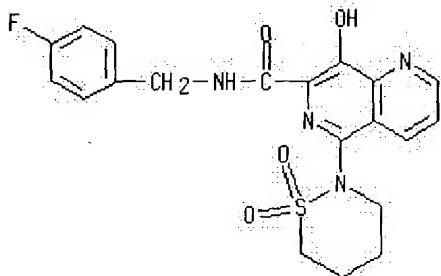
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2003016309</u>	A1	20030227	<u>WO 2002-US27151</u>	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
<u>EP 1427726</u>	A1	20040616	<u>EP 2002-763531</u>	20020813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRIORITY APPLN. INFO.:			<u>US 2001-313376P</u>	P 20010817
			<u>WO 2002-US27151</u>	W 20020813
OTHER SOURCE(S):		CASREACT 138:205040; MARPAT 138:205040		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB An improved prepn. of 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7-carboxamides I is disclosed [wherein: A = Ph nucleus or carbocycle-fused Ph nucleus; L = bond, C1-6 alkylene, C2-6 alkenylene, (C0-6 alkylene)-(C3-6 cycloalkylene)-(C0-6 alkylene); Z1 = H, (un)substituted alkyl, OH, halo, NO2, cyano, CO2H or certain derivs., etc.; n = 0-5; Z2 = H, aryl, aryloxy, aralkyl, aralkoxy, heteroaryl, heteroaryloxy, etc.; m = 0-2; R1, R2, R3 = H, (un)substituted alkyl or alkoxy, OH, halo, NO2, cyano, (hetero)aryl(oxy), etc.; R4 = H, (un)substituted alkyl or aryl; R5 = (un)substituted alkyl or aryl; or R4R5 = atoms to form certain sultams; R6 = H, (un)substituted alkyl]. Compds. I are known inhibitors of HIV integrase, and are useful for treating HIV **infection**, preventing HIV **infection**, delaying the onset of AIDS, and treating AIDS. Unspecified representative compds. I had IC50 values of < 100 µM in an integrase inhibition assay, and inhibited acute HIV **infection** of T-lymphoid cells with IC95 < 20 µM in another assay (no addnl. data). In the key step, a 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid or acid ester, in which the hydroxy is derivatized with a protecting group, is reacted with a sulfonamide (e.g., an alkanesulfonamide, an N-alkyl alkanesulfonamide, or an alkanesultam) in the presence of a copper promoter and a chelating agent, followed by deprotection of the hydroxy group, and then amidation with an amine to obtain I. Alternatively, the hydroxy-protected 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (or ester) is first coupled with an amine, and the resulting carboxamide then reacts with a sulfonamide, followed by deprotection of the hydroxy group, to obtain I. For instance, 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester underwent NBS bromination in the 5-position (93%), followed by O-tosylation of the 8-OH group with p-MeC6H4SO2Cl and Et3N (97%). Coupling of the resultant 5-bromo-8-(p-toluenesulfonyloxy)-1,6-

naphthyridine-7-carboxylic acid Me ester (II) with 1,4-butanediol in the presence of Cu₂O and 2,2-bipyridyl in degassed DMF at 120° gave product III in 78-83% yield, depending upon workup. Detosylation of III with NaOMe and MeOH in DMF (97%) and amidation of the ester with 4-fluorobenzylamine in EtOH at 75-77° (94%) gave the synthetic target IV as the mono-EtOH solvate. In two comparison runs using Cu₂O/pyridine, in which the 8-hydroxy group was not protected as the tosylate ester, coupling yields of only 19% and 42% were obtained.

IT 410544-95-5P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
 (product; prepn. of sulfonamidohydroxynaphthyridinecarboxamides via coupling of halo(protected-hydroxy)naphthyridines with sulfonamides and sultams using Cu promoters and chelating agents)
 RN 410544-95-5 HCAPLUS
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text
 Citations

ACCESSION NUMBER: 2003:153659 HCAPLUS
 DOCUMENT NUMBER: 139:300965
 TITLE: Novel aryl diketo-containing inhibitors of HIV-1 integrase
 AUTHOR(S): Pais, Godwin C. G.; Burke, Terrence R., Jr.
 CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Center for Cancer Research, National Cancer Institute, National Institutes of Health, Frederick, MD, 21702-1201, USA
 SOURCE: Drugs of the Future (2002), 27(11), 1101-1111
 CODEN: DRFUD4; ISSN: 0377-8282
 PUBLISHER: Prous Science
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: English

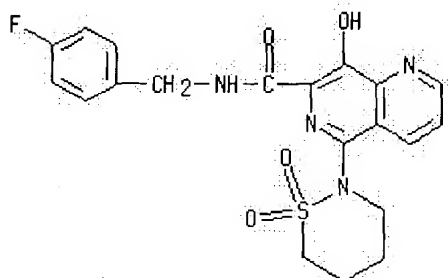
AB A review. HIV-1 integrase is a promising therapeutic target for the development of drugs to treat HIV **infection**. Aryl diketo-based analogs, disclosed independently by scientists from Merck and Shionogi pharmaceutical companies, are a unique class of compds. that exhibit potent integrase inhibition and display good antiviral effects in HIV-**infected** cells. The progress of Merck's L-870810 and Shionogi's S-1360 to phase II clin. trials has promised the inclusion of integrase inhibitors in "cocktail" combination therapies in the near future. This review presents a crit. overview of research related to this new class of integrase inhibitors.

IT 410544-95-5P, L 870810

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. and structure-activity relationship of aryl diketo-contg. inhibitors of HIV-1 integrase)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full
Text

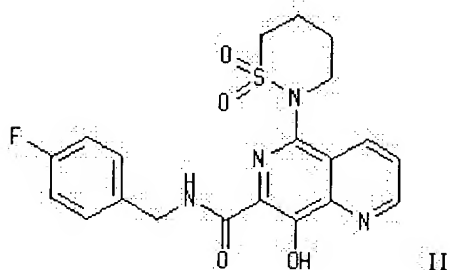
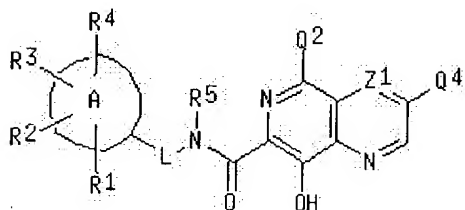
Citing
References

ACCESSION NUMBER: 2002:293653 HCAPLUS
 DOCUMENT NUMBER: 136:309919
 TITLE: Preparation of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors
 INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 97 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030931	A2	20020418	WO 2001-US42564	20011009
WO 2002030931	A3	20021024		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002011874	A5	20020422	AU 2002-11874	20011009

EE 200300145	A	20030616	EE 2003-145	20011009
JP 2004511483	T2	20040415	JP 2002-534317	20011009
US 2003055071	A1	20030320	US 2001-973853	20011010
BG 107677	A	20031128	BG 2003-107677	20030326
NO 2003001672	A	20030605	NO 2003-1672	20030411
PRIORITY APPLN. INFO.:			US 2000-239707P	P 20001012
			US 2001-281656P	P 20010405
			WO 2001-US42564	W 20011009

OTHER SOURCE(S): MARPAT 136:309919
GI



AB Title compds. I [A = Ph, indanyl, naphthyl, etc; L = single bond, alkyl, etc.; Z1 = N, CQ3; Q2-3 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc. or Q2-3 together with the carbon to which they are attached and the fused ring carbon atom attached therebetween form a 5-6-membered monocyclic heterocycle; Q4 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc.; R1-2 = H, alkyl, fluoroalkyl, alkoxy, halo, OH, etc.; R3-4 = H, halo, CN, OH, alkyl, fluoroalkyl, alkoxy, etc.; R5 = H, (un)substituted alkyl, Ph, etc.] were prepd. For instance, the Mitsunobu product of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate and Me N-[(4-methylphenyl)sulfonyl]glycinate was cyclized to Me 8-hydroxy-1,6-naphthyridine-7-carboxylate (MeOH, NaOMe, 0°C, 1.5 h). The naphthyridine was converted to the 5-bromo deriv. (CH₂Cl₂, NBS) and the product condensed with 4-fluorobenzylamine to give the corresponding 7-carboxamide. Treatment of this intermediate with 1,4-butanediol (prepn. given; Pyridine, Cu₂O, reflux, 16 h) provided II. The sodium salt of II was characterized by DSC and XRPD and jet-milled to a particle size of 3 - 5 μ for use in oral dosage formulations. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of **infection** by HIV and the treatment of AIDS alone or in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating **infection** by HIV are also described.

IT 410544-95-5P

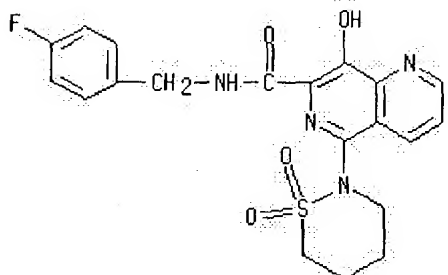
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)

(drug; prepn. of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L24 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	Chemical References
-----------	---------------------

ACCESSION NUMBER: 2002:293652 HCAPLUS
 DOCUMENT NUMBER: 136:325531
 TITLE: Preparation of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors
 INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 434 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030930	A2	20020418	WO 2001-US31456	20011009
WO 2002030930	A3	20020829		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002011527	A5	20020422	AU 2002-11527	20011009
EP 1326865	A2	20030716	EP 2001-979582	20011009
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US 2003055071	A1	20030320	US 2001-973853	20011010
PRIORITY APPLN. INFO.:			US 2000-239707P	P 20001012

US 2001-281656P

P 20010405

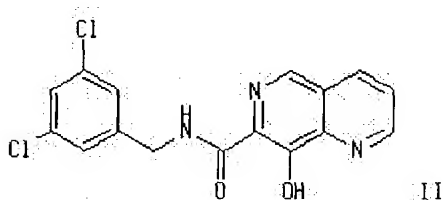
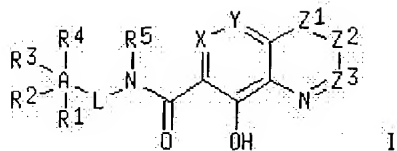
WO 2001-US31456

W 20011009

OTHER SOURCE(S):

MARPAT 136:325531

GI



AB Title compds., including certain quinoline carboxamide and naphthyridine carboxamide derivs., I [wherein A = (un)substituted Ph or Ph fused to a carbocycle; L = a single bond, or (un)substituted alkyl, alkenyl, alkylcycloalkylalkyl, or alkyl-M-alkyl; M = NRa, OCO, or CO₂; X = N or CQ1; Y = N or CQ2, provided that X and Y are not both N; Z1 = N or CQ3; Z2 = N or CQ4; Z3 = N or CH; Q1-Q4 = independently H, halo, CN, NR1CR10, or (un)substituted alkyl, alkoxy, alkenyl, alkynyl, carbamoyl, carboximidamido, amino, etc.; or C2Q2Q3 = (un)substituted 5- or 6-membered carbocycle or heterocycle; R1 and R2 = independently H, OH, halo, NO₂, CN, or (un)substituted alkyl, alkenyl, alkoxy, amino, sulfonylamino, etc.; R3 and R4 = independently H, halo, CN, NO₂, OH, alkenyl, or (un)substituted alkyl, amino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted alkyl or aryl; Ra = independently H or (halo)alkyl; or pharmaceutically acceptable salts thereof] were prepd. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of **infection** by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics, or vaccines. For example, Mitsunobu reaction of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate with Me N-[(4-methylphenyl)sulfonyl]glycinate, followed by cyclization in the presence on NaOMe, afforded Me 8-hydroxy-1,6-naphthyridine-7-carboxylate. Coupling with 3,5-dichlorobenzylamine in toluene gave II. Representative compds. were assayed for the inhibition of acute HIV **infection** of T-lymphoid cells and demonstrated IC₉₅ values of < 20 μ M.

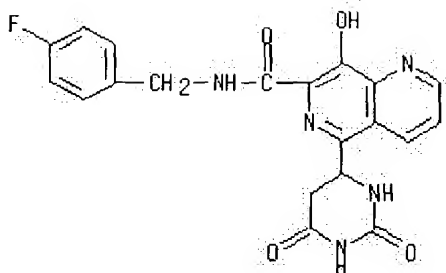
IT **410544-69-3P**, N-(4-Fluorobenzyl)-5-(2,6-dioxohexahydropyrimidin-4-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); THU (Therapeutic use); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)

RN **410544-69-3** HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-2,6-dioxo-4-pyrimidinyl)-8-hydroxy- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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STRUCTURE FILE UPDATES: 5 NOV 2004 HIGHEST RN 775356-23-5

DICTIONARY FILE UPDATES: 5 NOV 2004 HIGHEST RN 775356-23-5

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

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L25 STRUCTURE UPLOADED

=> d 125

L25 HAS NO ANSWERS

L25 STR

=> s 125

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SAMPLE SCREEN SEARCH COMPLETED - 353 TO ITERATE

100.0% PROCESSED 353 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 5933 TO 8187
 PROJECTED ANSWERS: 6 TO 266

L26 6 SEA SSS SAM L25

=> s l25 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
 FULL SEARCH INITIATED 01:08:46 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 6800 TO ITERATE

100.0% PROCESSED 6800 ITERATIONS 164 ANSWERS
 SEARCH TIME: 00.00.01

L27 164 SEA SSS FUL L25

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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FULL ESTIMATED COST	157.94	666.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-23.10

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FILE COVERS 1907 - 8 Nov 2004 VOL 141 ISS 20
 FILE LAST UPDATED: 7 Nov 2004 (20041107/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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378 L27
 2674063 RCT/RL
 L28 168 L27/RCT
 (L27 (L) RCT/RL)

=> d his

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 L3 STRUCTURE UPLOADED
 L4 36 S L3
 L5 666 S L3 FULL
 L6 STRUCTURE UPLOADED
 L7 50 S L6
 L8 1060 S L6 FULL
 L9 394 S L8 NOT L5

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 L11 1 S L10 AND IMAMURA, K?/AU
 L12 22 S L10 NOT L11
 L13 0 S L12 AND MITOMO, K?/AU
 L14 0 S L12 AND YAMADA, N?/AU
 L15 0 S L12 AND YAMAMOTO, K?/AU
 L16 0 S L12 AND TERAOKA, T?/AU
 L17 0 S L12 AND SAKANAKA, O?/AU
 L18 0 S L12 AND KURIHARA, H?/AU
 L19 0 S L12 AND TANIGUCHI, M?/AU

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 L22 0 S L21 AND FUNG?
 L23 0 S L21 AND PLANT
 L24 10 S L21 AND INFECT?

FILE 'REGISTRY' ENTERED AT 01:04:33 ON 08 NOV 2004

L25 STRUCTURE UPLOADED
 L26 6 S L25
 L27 164 S L25 FULL

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=> s 19/prep

 23 L9
 3219802 PREP/RL
 L29 18 L9/PREP
 (L9 (L) PREP/RL)

=> s 129 and 128

L30 3 L29 AND L28

=> d 130, ibib abs hitstr, 1-3

L30 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text References

ACCESSION NUMBER: 2001:152650 HCAPLUS
 DOCUMENT NUMBER: 134:207831
 TITLE: Preparation, composition and use of heterocyclic
 aromatic amides as fungicides
 INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III;
 Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam
 Salim; Miesel, John Louis; Fitzpatrick, Gina Marie;
 Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed;

PATENT ASSIGNEE(S): Morrison, Irene Mae; Henry, Matthew James; Adamski,
 SOURCE: Butz Jenifer Lynn; Gajewski, Robert Peter
 DOW AGROSCIENCES LLC, USA
 PCT Int. Appl., 200 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014339	A2	20010301	WO 2000-US21523	20000804
WO 2001014339	A3	20011115		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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AU 2000065267	A5	20010319	AU 2000-65267	20000804
US 6355660	B1	20020312	US 2000-632930	20000804
EP 1204643	A2	20020515	EP 2000-952599	20000804
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EP 1234825	A2	20020828	EP 2002-9585	20000804
EP 1234825	A3	20030618		
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EP 1234826	A2	20020828	EP 2002-9586	20000804
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BR 2000013469	A	20030429	BR 2000-13469	20000804
JP 2003527324	T2	20030916	JP 2001-518428	20000804
US 2002177578	A1	20021128	US 2001-22413	20011213
US 2003018052	A1	20030123	US 2001-22207	20011213
US 2003018012	A1	20030123	US 2001-22511	20011213
US 6706740	B2	20040316		
US 2003022902	A1	20030130	US 2001-22483	20011213
US 2003022903	A1	20030130	US 2001-23497	20011213
ZA 2002000435	A	20030117	ZA 2002-435	20020117
US 2004034025	A1	20040219	US 2002-307844	20021202
US 2004048864	A1	20040311	US 2002-307710	20021202

102(Cad)

PRIORITY APPLN. INFO.:

US 1999-149977P	P	19990820
US 1999-150248P	P	19990823
US 2000-620662	A	20000720
US 1999-144676P	P	19990720
EP 2000-952599	A3	20000804
US 2000-632930	A3	20000804
WO 2000-US21523	W	20000804

OTHER SOURCE(S): MARPAT 134:207831
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; wherein X1-X4 independently = O, S, NR1, N, CR2, bond; R1 = H, C1-3 alkyl, C2-3 alkenyl, C2-3 alkynyl, OH, CHF2, C1-4 alkoxy; R2 = H, F, Cl, Br, CN, OH, C1-3 alkyl, C1-3 haloalkyl cyclopropyl, C1-3 alkoxy; Z = O, S, NOH, NOR3; R3 = C1-3 alkyl; A = C1-14 alkyl, C1-14 alkynyl, C1-14 cycloalkyl, aryl, heteroaryl, Q; M = H, Si(t-Bu)Me2, Si(Ph)Me2, SiEt3, CZR4, SO2R5; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; R5 = aryl, heteroaryl, C1-6 alkyl, C2-6 alkenyl, C3-6 alkenyl, C3-6 alkynyl, C3-6 cycloalkyl; X, Y independently = O, S; W = O, CH2, bond; R = C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C3-8 cycloalkyl, aryl, heteroaryl; R11 = H, C1-3 alkyl, C2-5 alkenyl, C2-5 alkynyl; R10 = H, R, OR, OCOR, OCOOR; R8, R9 independently = H, C1-6 alkyl, C2-6 alkenyl; R6, R7 independently = H, C1-6 alkyl, C2-6 alkenyl, C2-5 alkynyl, C3-6 cycloalkyl] are prepd. as fungicides involving application methods of effective usage of title compds. to control fungi, particularly plant pathogens and wood decaying fungi. The invention also encompasses hydrates, salts and complexes thereof. The title compd. II was prepd. and tested as fungicide.

IT 267415-93-0P 321597-82-4P 321597-85-7P

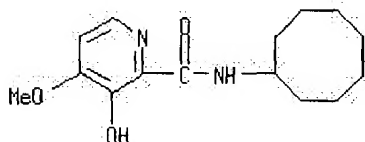
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321598-48-5P 321598-49-6P 321598-50-9P
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321598-54-3P 321598-55-4P 321598-56-5P
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321598-66-7P 321598-67-8P 321598-68-9P
321598-71-4P 321600-41-3P 321601-50-7P
321601-51-8P 321601-52-9P 321744-54-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(prepn. and fungicidal activity of heterocyclic arom. amides)

RN 267415-93-0 HCAPLUS

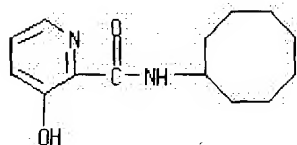
CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



102 (a)

RN 321597-82-4 HCAPLUS

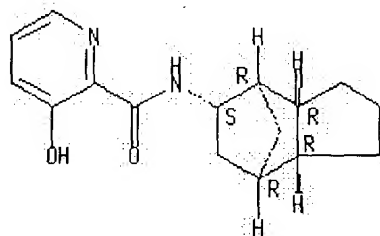
CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy- (9CI) (CA INDEX NAME)



RN 321597-85-7 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(3aR,4R,5S,7R,7aR)-octahydro-4,7-methano-1H-inden-5-yl]-, rel- (9CI) (CA INDEX NAME)

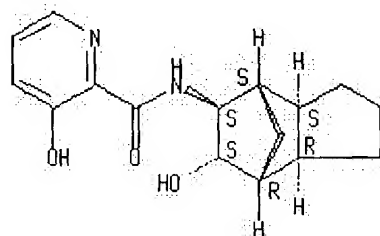
Relative stereochemistry.



RN 321597-86-8 HCAPLUS

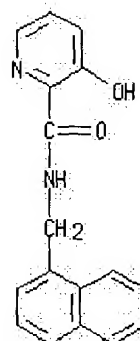
CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(3aR,4R,5R,6R,7S,7aS)-octahydro-6-hydroxy-4,7-methano-1H-inden-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321598-00-9 HCAPLUS

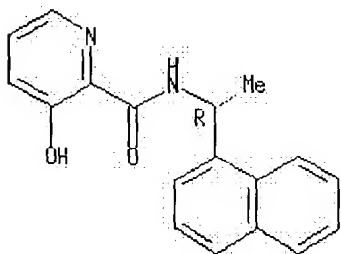
CN 2-Pyridinecarboxamide, 3-hydroxy-N-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



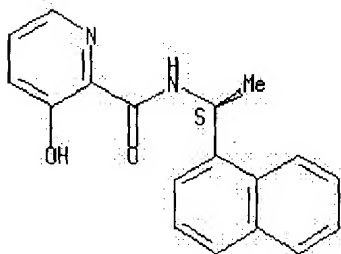
RN 321598-03-2 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1R)-1-(1-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

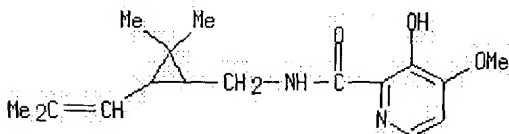
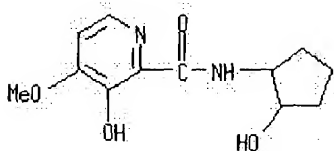
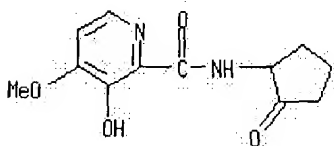
Absolute stereochemistry.

RN 321598-04-3 HCAPLUSCN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1S)-1-(1-naphthalenyl)ethyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

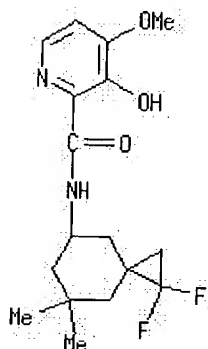
RN 321598-13-4 HCAPLUS

CN 2-Pyridinecarboxamide, N-[[2,2-dimethyl-3-(2-methyl-1-propenyl)cyclopropyl]methyl]-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

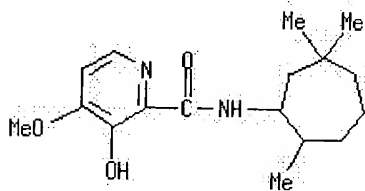
RN 321598-20-3 HCAPLUSCN 2-Pyridinecarboxamide, 3-hydroxy-N-(2-hydroxycyclopentyl)-4-methoxy- (9CI)
(CA INDEX NAME)RN 321598-21-4 HCAPLUSCN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2-oxocyclopentyl)- (9CI)
(CA INDEX NAME)

RN 321598-27-0 HCAPLUS

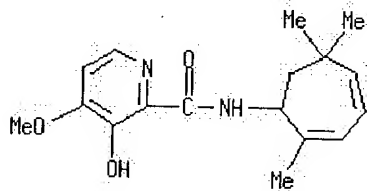
CN 2-Pyridinecarboxamide, N-(1,1-difluoro-7,7-dimethylspiro[2.5]oct-5-yl)-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

RN 321598-47-4 HCAPLUS

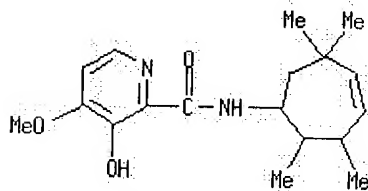
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,6,6-trimethylcycloheptyl)- (9CI) (CA INDEX NAME)

RN 321598-48-5 HCAPLUS

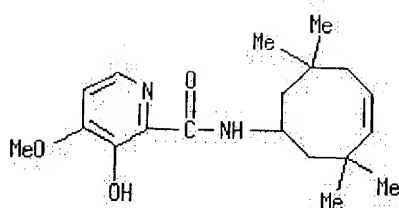
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,6,6-trimethyl-2,4-cycloheptadien-1-yl)- (9CI) (CA INDEX NAME)

RN 321598-49-6 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,3,6,6-tetramethyl-4-cyclohepten-1-yl)- (9CI) (CA INDEX NAME)

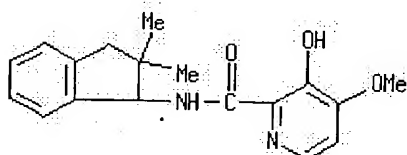
RN 321598-50-9 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(3,3,7,7-tetramethyl-4-cycloocten-1-yl)- (9CI) (CA INDEX NAME)



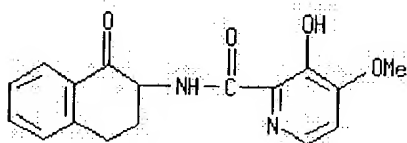
RN 321598-51-0 HCAPLUS

CN 2-Pyridinecarboxamide, N-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 321598-52-1 HCAPLUS

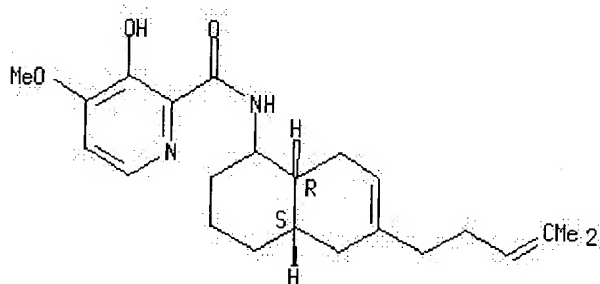
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(1,2,3,4-tetrahydro-1-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 321598-53-2 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(4aR,8aS)-1,2,3,4,4a,5,8,8a-octahydro-6-(4-methyl-3-pentenyl)-1-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

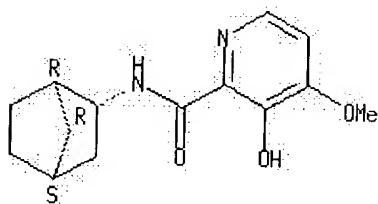
Relative stereochemistry.



RN 321598-54-3 HCAPLUS

CN 2-Pyridinecarboxamide, N-(1R,2R,4S)-bicyclo[2.2.1]hept-2-yl-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

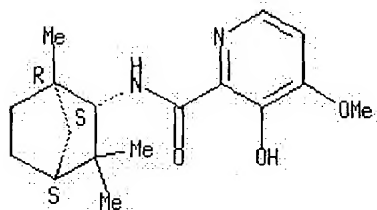


RN 321598-55-4 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S)-1,3,3-dimethyl-2-oxobicyclo[2.2.1]hept-2-yl]- (9CI) (CA INDEX NAME)

trimethylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

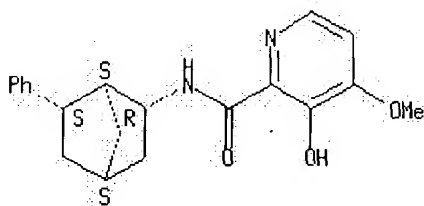
Relative stereochemistry.



RN 321598-56-5 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,6R)-6-phenylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

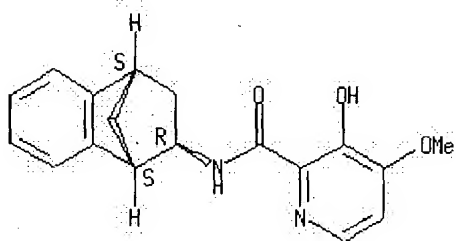
Relative stereochemistry.



RN 321598-57-6 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R)-1,2,3,4-tetrahydro-1,4-methanonaphthalen-2-yl]-, rel- (9CI) (CA INDEX NAME)

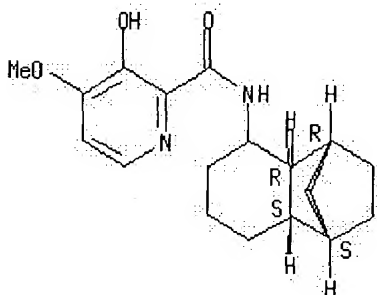
Relative stereochemistry.



RN 321598-58-7 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(1R,4S,4aS,8aR)-decahydro-1,4-methanonaphthalen-5-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

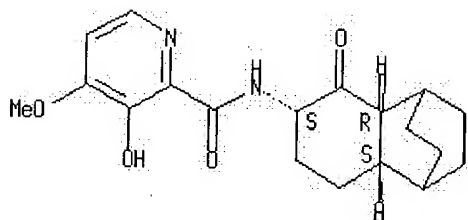
Relative stereochemistry.



RN 321598-59-8 HCAPLUS

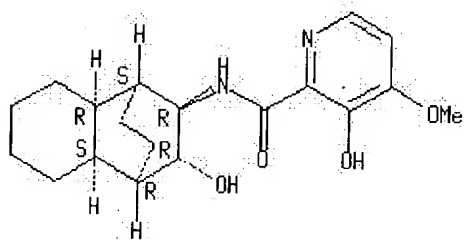
CN 2-Pyridinecarboxamide, N-[(4aR,6S,8aS)-decahydro-5-oxo-1,4-ethanonaphthalen-6-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 321598-60-1 HCAPLUS

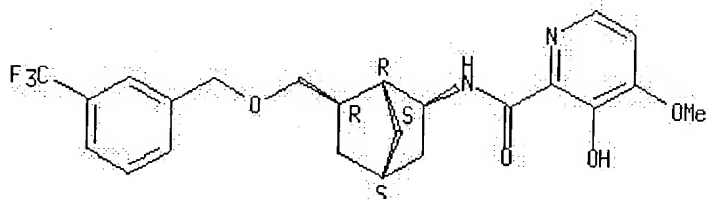
CN 2-Pyridinecarboxamide, N-[(1R,2S,3S,4S,4aR,8aS)-decahydro-3-hydroxy-1,4-ethanonaphthalen-2-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 321598-61-2 HCAPLUS

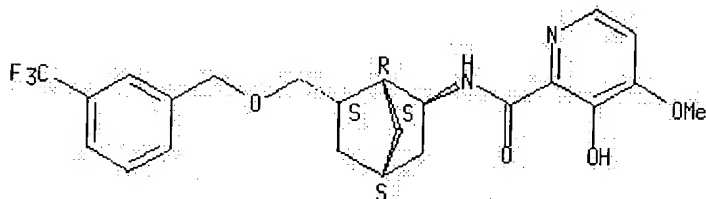
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S,6R)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 321598-62-3 HCAPLUS

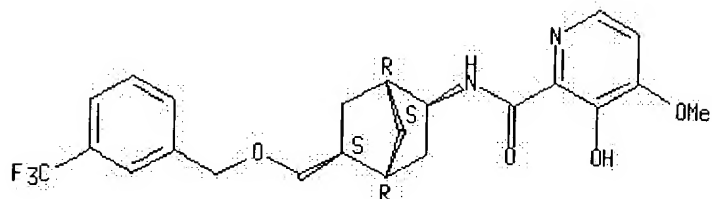
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S,6S)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 321598-63-4 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,5S)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

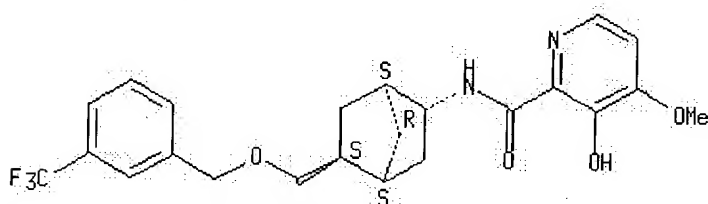
Relative stereochemistry.



RN 321598-64-5 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,5R)-5-[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

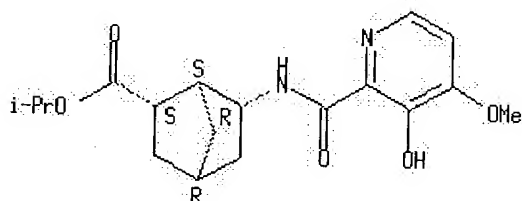
Relative stereochemistry.



RN 321598-65-6 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 6-[[3-(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-, 1-methylethyl ester, (1R,2R,4S,6S)-rel- (9CI) (CA INDEX NAME)

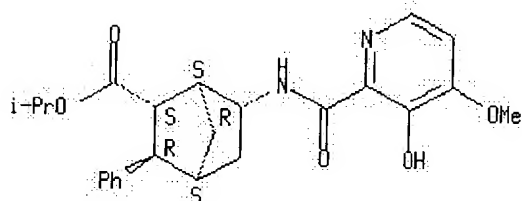
Relative stereochemistry.



RN 321598-66-7 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 6-[[3-(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2R,3S,4R,6S)-rel- (9CI) (CA INDEX NAME)

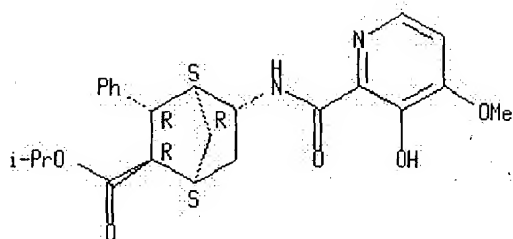
Relative stereochemistry.



RN 321598-67-8 HCAPLUS

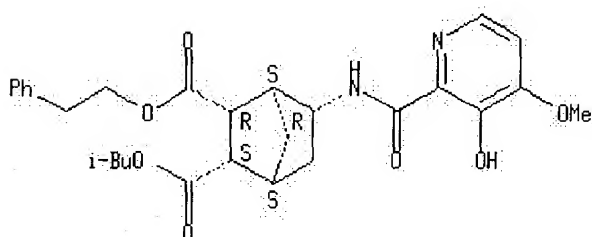
CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[[3-(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2S,3S,4R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

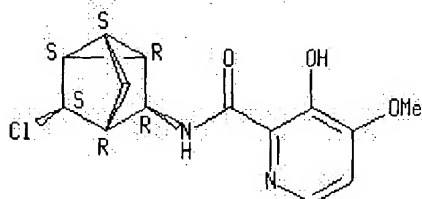
RN 321598-68-9 HCAPLUS

CN Bicyclo[2.2.1]heptane-2,3-dicarboxylic acid, 5-[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-, 2-(2-methylpropyl) 3-(2-phenylethyl) ester, (1R, 2R, 3S, 4R, 5S)-rel- (9CI) (CA INDEX NAME)

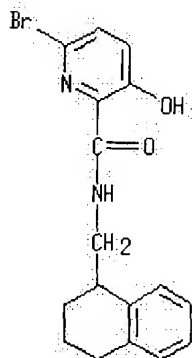
Relative stereochemistry.

RN 321598-71-4 HCAPLUSCN 2-Pyridinecarboxamide, N-[(1R, 2S, 3S, 4S, 5R, 6R)-5-chlorotricyclo[2.2.1.0^{2,6}]hept-3-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

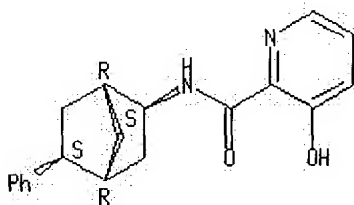
RN 321600-41-3 HCAPLUS

CN 2-Pyridinecarboxamide, 6-bromo-3-hydroxy-N-[(1,2,3,4-tetrahydro-1-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)

RN 321601-50-7 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1R,2S,4R,5S)-5-phenylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

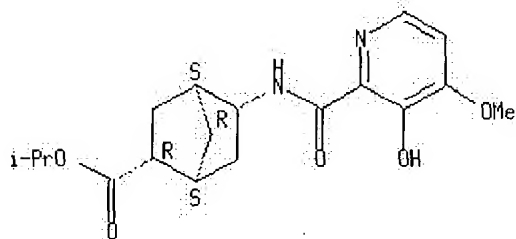
Relative stereochemistry.



RN 321601-51-8 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-, 1-methylethyl ester, (1R,2S,4R,5S)-rel- (9CI) (CA INDEX NAME)

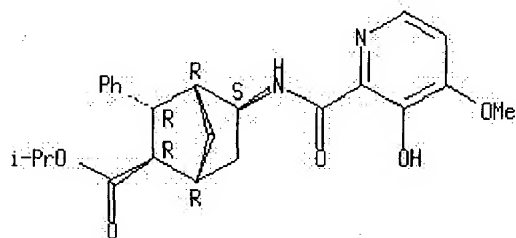
Relative stereochemistry.



RN 321601-52-9 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[[[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2R,3R,4R,5S)-rel- (9CI) (CA INDEX NAME)

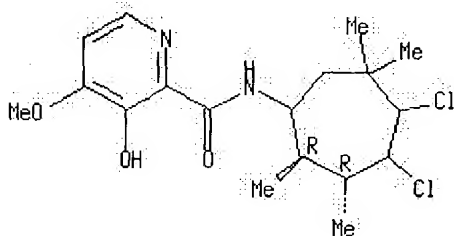
Relative stereochemistry.



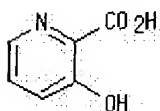
RN 321744-54-1 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(2R,3R)-4,5-dichloro-2,3,6,6-tetramethylcycloheptyl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

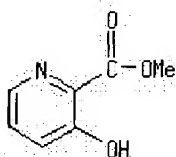
Relative stereochemistry.



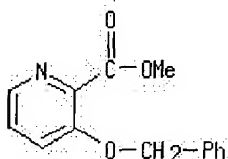
IT 874-24-8, 3-Hydroxypyridine-2-carboxylic acid 62733-99-7
 , Methyl 3-hydroxypyridine-2-carboxylate 153140-15-9
210300-09-7 267416-45-5
 RL: **RCT (Reactant)**; RACT (Reactant or reagent)
 (prepn. and fungicidal activity of heterocyclic arom. amides)
 RN 874-24-8 HCAPLUS
 CN 2-Pyridinecarboxylic acid, 3-hydroxy- (9CI) (CA INDEX NAME)



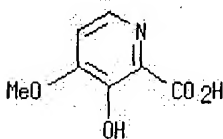
RN 62733-99-7 HCAPLUS
 CN 2-Pyridinecarboxylic acid, 3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



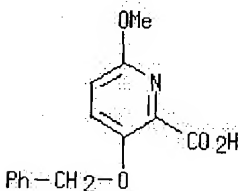
RN 153140-15-9 HCAPLUS
 CN 2-Pyridinecarboxylic acid, 3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 210300-09-7 HCAPLUS
 CN 2-Pyridinecarboxylic acid, 3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 267416-45-5 HCAPLUS
 CN 2-Pyridinecarboxylic acid, 6-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

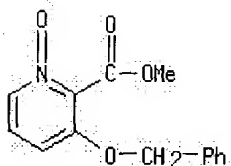


IT 151070-97-2P 321596-51-4P 321596-54-7P
321596-55-8P 321596-56-9P 321596-57-0P
321596-58-1P 321597-64-2P 321597-65-3P
321597-72-2P 321597-73-3P 321601-48-3P
 RL: **RCT (Reactant)**; SPN (Synthetic preparation); **PREP**

(Preparation); RACT (Reactant or reagent)

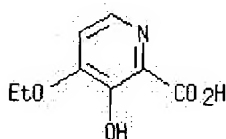
(prepn. and fungicidal activity of heterocyclic arom. amides)

RN 151070-97-2 HCAPLUS

CN 2-Pyridinecarboxylic acid, 3-(phenylmethoxy)-, methyl ester, 1-oxide (9CI)
(CA INDEX NAME)

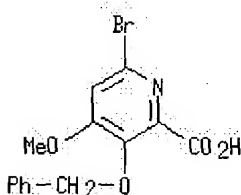
RN 321596-51-4 HCAPLUS

CN 2-Pyridinecarboxylic acid, 4-ethoxy-3-hydroxy- (9CI) (CA INDEX NAME)



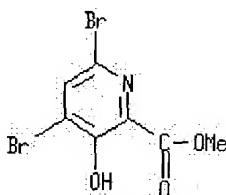
RN 321596-54-7 HCAPLUS

CN 2-Pyridinecarboxylic acid, 6-bromo-4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



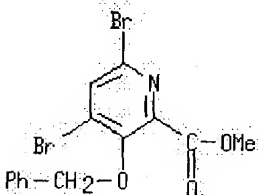
RN 321596-55-8 HCAPLUS

CN 2-Pyridinecarboxylic acid, 4,6-dibromo-3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



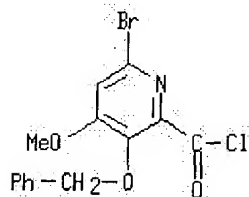
RN 321596-56-9 HCAPLUS

CN 2-Pyridinecarboxylic acid, 4,6-dibromo-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



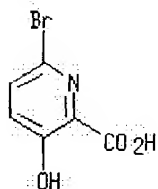
RN 321596-57-0 HCAPLUS

CN 2-Pyridinecarbonyl chloride, 6-bromo-4-methoxy-3-(phenylmethoxy)- (9CI)
(CA INDEX NAME)



RN 321596-58-1 HCAPLUS

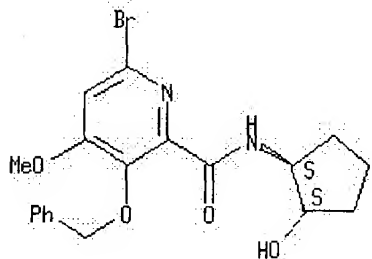
CN 2-Pyridinecarboxylic acid, 6-bromo-3-hydroxy- (9CI) (CA INDEX NAME)



RN 321597-64-2 HCAPLUS

CN 2-Pyridinecarboxamide, 6-bromo-N-[(1S,2S)-2-hydroxycyclopentyl]-4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

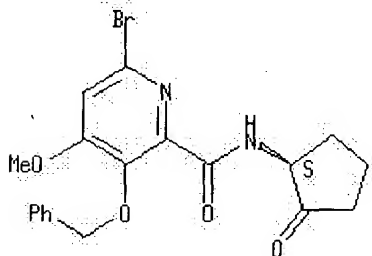
Absolute stereochemistry.



RN 321597-65-3 HCAPLUS

CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-N-[(1S)-2-oxocyclopentyl]-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

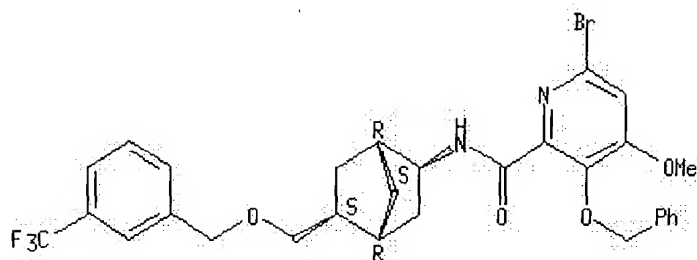
Absolute stereochemistry.



RN 321597-72-2 HCAPLUS

CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-3-(phenylmethoxy)-N-[(1R,2S,4R,5S)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

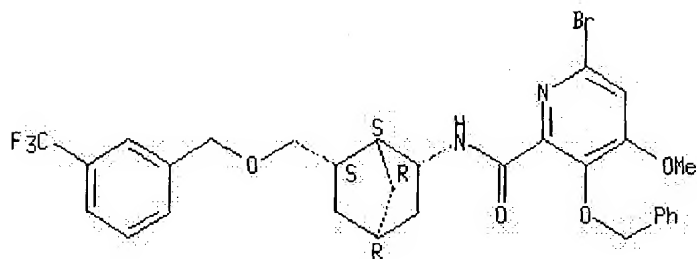
Relative stereochemistry.



RN 321597-73-3 HCAPLUS

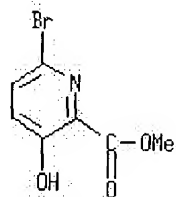
CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-3-(phenylmethoxy)-N-[(1R,2S,4S,6R)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321601-48-3 HCAPLUS

CN 2-Pyridinecarboxylic acid, 6-bromo-3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



IT 328255-69-2P 328255-70-5P 328255-71-6P

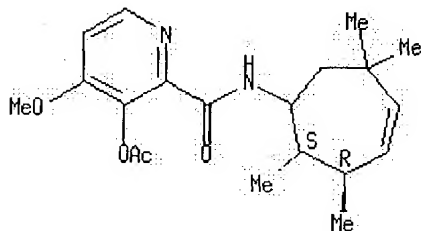
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**

(prepn. of heterocyclic arom. amides as fungicides)

RN 328255-69-2 HCAPLUS

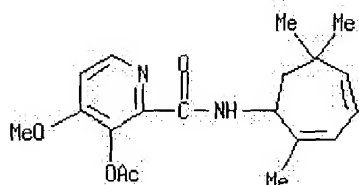
CN 2-Pyridinecarboxamide, 3-(acetyloxy)-4-methoxy-N-[(2R,3S)-2,3,6,6-tetramethyl-4-cyclohepten-1-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



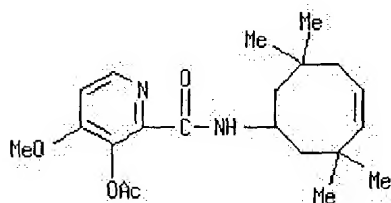
RN 328255-70-5 HCAPLUS

CN 2-Pyridinecarboxamide, 3-(acetyloxy)-4-methoxy-N-(2,6,6-trimethyl-2,4-cycloheptadien-1-yl)- (9CI) (CA INDEX NAME)



RN 328255-71-6 HCAPLUS

CN 2-Pyridinecarboxamide, 3-(acetyloxy)-4-methoxy-N-(3,3,7,7-tetramethyl-4-cycloocten-1-yl)- (9CI) (CA INDEX NAME)



L30 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	Chemical Abstracts
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ACCESSION NUMBER: 2001:63978 HCAPLUS

DOCUMENT NUMBER: 134:131431

TITLE: Fungicidal heterocyclic aromatic amides and their compositions, methods of use and preparation

INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene Mae; Gajewski, Robert Peter

PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA

SOURCE: PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005769	A2	20010125	WO 2000-US19794	20000720
WO 2001005769	A3	20011122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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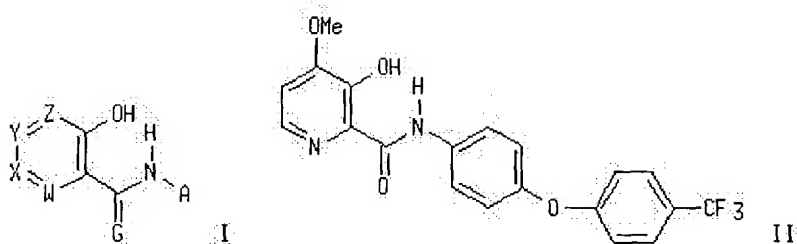
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US 2003018052	A1	20030123	US 2001-22207	20011213
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PRIORITY APPLN. INFO.:

US 1999-144676P	P	19990720
US 1999-149977P	P	19990820
US 1999-150248P	P	19990823
US 2000-620662	A3	20000720
WO 2000-US19794	W	20000720
US 2000-632930	A3	20000804

OTHER SOURCE(S): MARPAT 134:131431

GI



AB Title compds. I [W, X, Y, Z are selected from S, O, NR1, N, CR2 or bond and comprise a 5-6 membered (un)substituted heterocyclic ring; R1 = H, alkyl, alkenyl, alkynyl, OH, acyloxy, alkoxy, methyl, CHF2, cyclopropyl, or alkoxy; R2 = H, halo, CN, OH, alkyl, haloalkyl, cyclopropyl, alkoxy, haloalkoxy, etc.; G = O, S or NOR3 where R3 = H or alkyl; A = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, unsatd. cycloalkyl, heterocycle, bi or tricyclic ring system which may contain heteroatoms, aryl or heteroaryl, etc.] bearing a hydroxy group adjacent to the amide functionality are prep'd. and disclosed as antifungal agents, particularly for plants. Thus, pyridinyl carboxamide II was prep'd. via amidation of 3-benzyloxy-6-bromo-4-methoxypyridin-2-carbonyl chloride with 4-(4-trifluoromethylphenoxy)aniline with subsequent deprotection. The preferred fungicidal compn. consists of a compd. of formula I with a phytol. acceptable carrier. Activity has been demonstrated against a variety of fungi, e.g., *Plasmopara viticola* (Downy Mildew of Grape), *Phytophthora infestans* (Late Blight of Tomato), and *Venturia inaequalis* (Apple Scab). I is both useful for eradication and prevention of fungal attack.

IT 267415-93-0P 321597-82-4P 321597-85-7P
321597-86-8P 321598-00-9P 321598-03-2P
321598-04-3P 321598-13-4P 321598-20-3P
321598-21-4P 321598-27-0P 321598-47-4P
321598-48-5P 321598-49-6P 321598-50-9P
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321598-71-4P 321600-41-3P 321601-50-7P

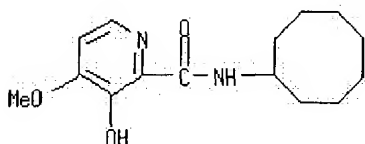
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RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(prepn. and fungicidal activity of heterocyclic arom. amides)

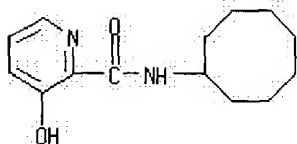
RN 267415-93-0 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 321597-82-4 HCAPLUS

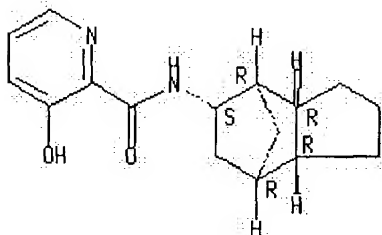
CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy- (9CI) (CA INDEX NAME)



RN 321597-85-7 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(3aR,4R,5S,7R,7aR)-octahydro-4,7-methano-1H-inden-5-yl]-, rel- (9CI) (CA INDEX NAME)

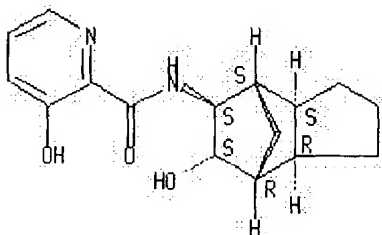
Relative stereochemistry.



RN 321597-86-8 HCAPLUS

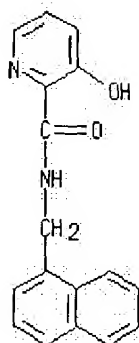
CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(3aR,4R,5R,6R,7S,7aS)-octahydro-6-hydroxy-4,7-methano-1H-inden-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321598-00-9 HCAPLUS

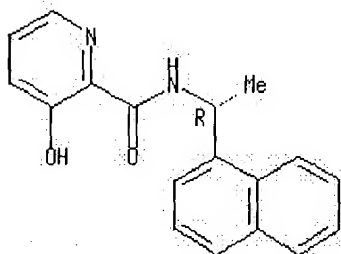
CN 2-Pyridinecarboxamide, 3-hydroxy-N-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



RN 321598-03-2 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1R)-1-(1-naphthalenyl)ethyl]- (9CI)
(CA INDEX NAME)

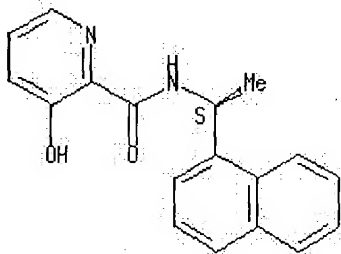
Absolute stereochemistry.



RN 321598-04-3 HCAPLUS

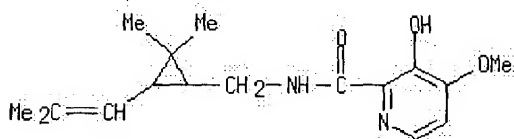
CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1S)-1-(1-naphthalenyl)ethyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



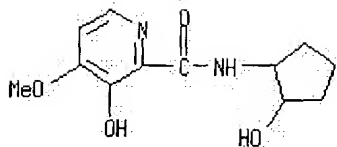
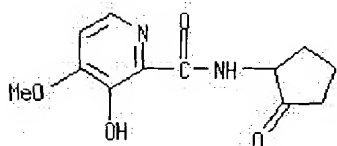
RN 321598-13-4 HCAPLUS

CN 2-Pyridinecarboxamide, N-[[2,2-dimethyl-3-(2-methyl-1-propenyl)cyclopropyl]methyl]-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

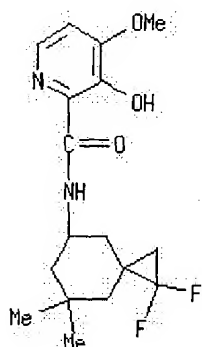


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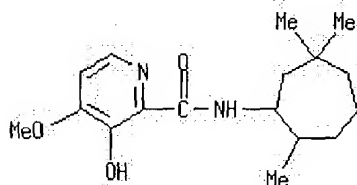
CN 2-Pyridinecarboxamide, 3-hydroxy-N-(2-hydroxycyclopentyl)-4-methoxy- (9CI)
(CA INDEX NAME)

RN 321598-21-4 HCAPLUSCN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2-oxocyclopentyl)- (9CI)
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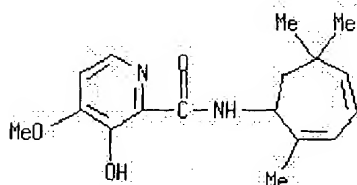
CN 2-Pyridinecarboxamide, N-(1,1-difluoro-7,7-dimethylspiro[2.5]oct-5-yl)-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

RN 321598-47-4 HCAPLUS

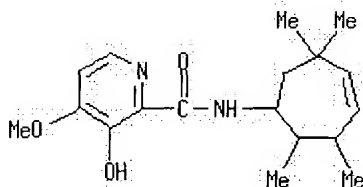
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,6,6-trimethylcycloheptyl)- (9CI) (CA INDEX NAME)

RN 321598-48-5 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,6,6-trimethyl-2,4-cycloheptadien-1-yl)- (9CI) (CA INDEX NAME)

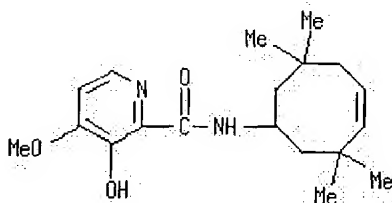
RN 321598-49-6 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,3,6,6-tetramethyl-4-cyclohepten-1-yl)- (9CI) (CA INDEX NAME)



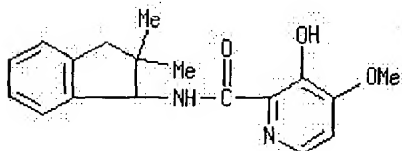
RN 321598-50-9 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(3,3,7,7-tetramethyl-4-cycloocten-1-yl)- (9CI) (CA INDEX NAME)



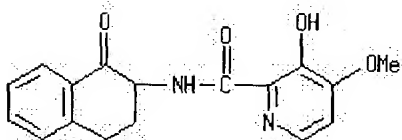
RN 321598-51-0 HCAPLUS

CN 2-Pyridinecarboxamide, N-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 321598-52-1 HCAPLUS

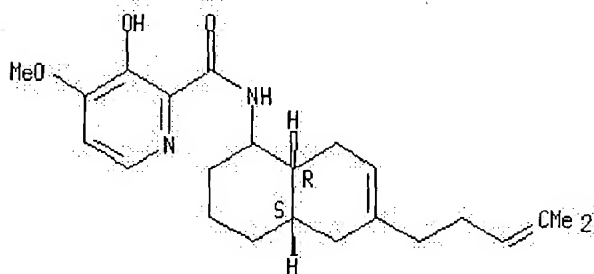
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(1,2,3,4-tetrahydro-1-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 321598-53-2 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(4aR,8aS)-1,2,3,4,4a,5,8,8a-octahydro-6-(4-methyl-3-pentenyl)-1-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

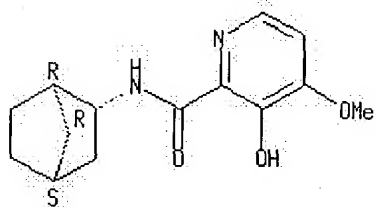
Relative stereochemistry.



RN 321598-54-3 HCAPLUS

CN 2-Pyridinecarboxamide, N-(1R,2R,4S)-bicyclo[2.2.1]hept-2-yl-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

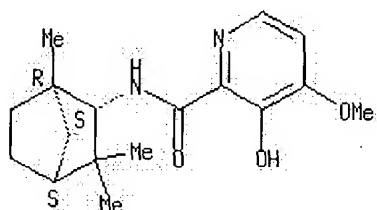
Relative stereochemistry.



RN 321598-55-4 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S)-1,3,3-trimethylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

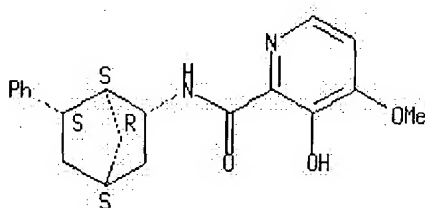
Relative stereochemistry.



RN 321598-56-5 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,6R)-6-phenylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

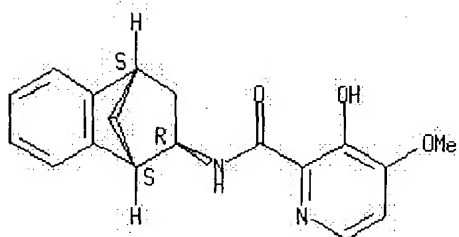
Relative stereochemistry.



RN 321598-57-6 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R)-1,2,3,4-tetrahydro-1,4-methanonaphthalen-2-yl]-, rel- (9CI) (CA INDEX NAME)

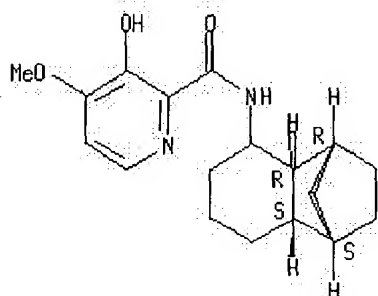
Relative stereochemistry.



RN 321598-58-7 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(1R,4S,4aS,8aR)-decahydro-1,4-methanonaphthalen-5-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

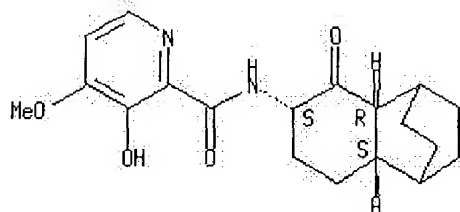
Relative stereochemistry.



RN 321598-59-8 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(4aR, 6S, 8aS)-decahydro-5-oxo-1,4-ethanonaphthalen-6-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

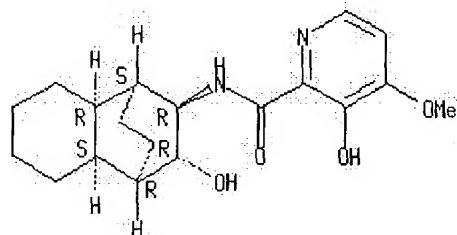
Relative stereochemistry.



RN 321598-60-1 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(1R, 2S, 3S, 4S, 4aR, 8aS)-decahydro-3-hydroxy-1,4-ethanonaphthalen-2-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

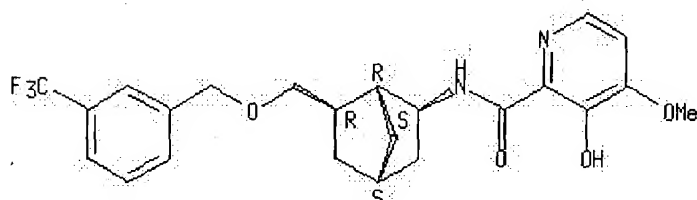
Relative stereochemistry.



RN 321598-61-2 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R, 2S, 4S, 6R)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

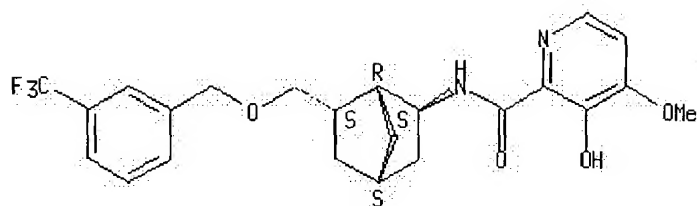
Relative stereochemistry.



RN 321598-62-3 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R, 2S, 4S, 6S)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

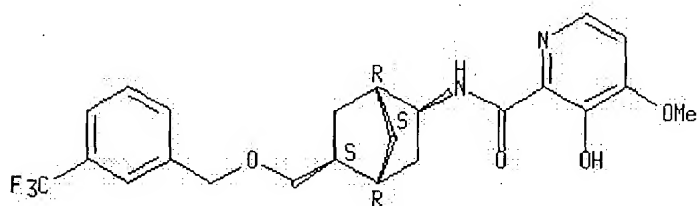
Relative stereochemistry.



RN 321598-63-4 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,5S)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

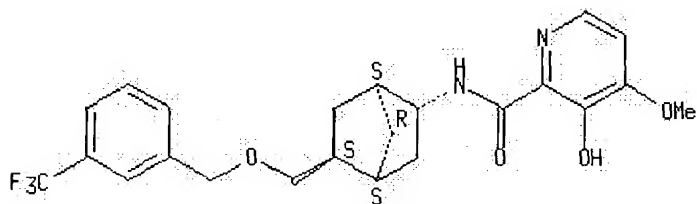
Relative stereochemistry.



RN 321598-64-5 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,5R)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

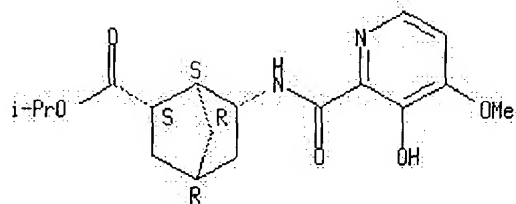
Relative stereochemistry.



RN 321598-65-6 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 6-[[[3-(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-, 1-methylethyl ester, (1R,2R,4S,6S)-rel- (9CI) (CA INDEX NAME)

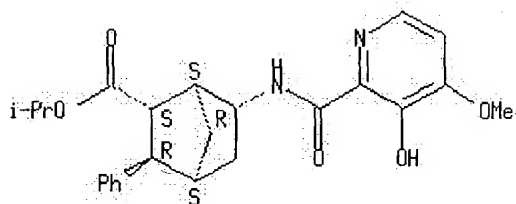
Relative stereochemistry.



RN 321598-66-7 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 6-[[[3-(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2R,3S,4R,6S)-rel- (9CI) (CA INDEX NAME)

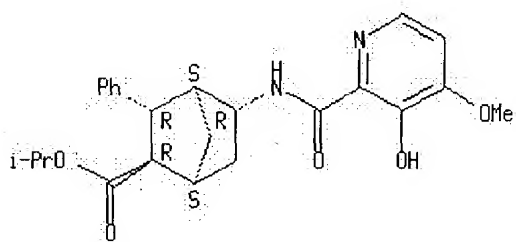
Relative stereochemistry.



RN 321598-67-8 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[[[3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2S,3S,4R,5S)-rel- (9CI) (CA INDEX NAME)

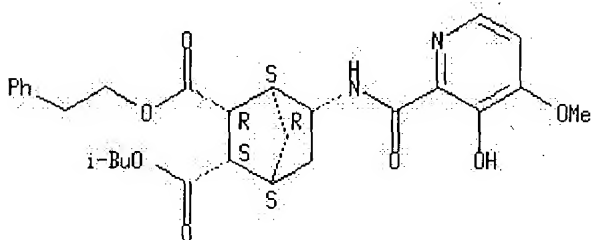
Relative stereochemistry.



RN 321598-68-9 HCAPLUS

CN Bicyclo[2.2.1]heptane-2,3-dicarboxylic acid, 5-[[[3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-, 2-(2-methylpropyl) 3-(2-phenylethyl) ester, (1R,2R,3S,4R,5S)-rel- (9CI) (CA INDEX NAME)

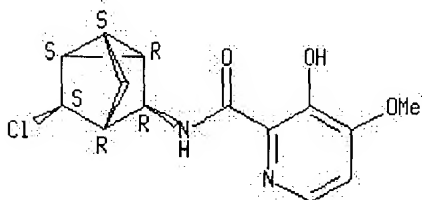
Relative stereochemistry.



RN 321598-71-4 HCAPLUS

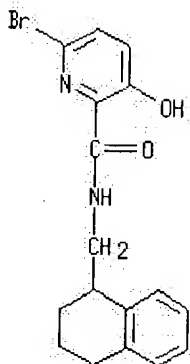
CN 2-Pyridinecarboxamide, N-[(1R,2S,3S,4S,5R,6R)-5-chlorotricyclo[2.2.1.02,6]hept-3-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321600-41-3 HCAPLUS

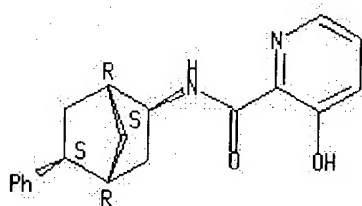
CN 2-Pyridinecarboxamide, 6-bromo-3-hydroxy-N-[(1,2,3,4-tetrahydro-1-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)



RN 321601-50-7 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1R,2S,4R,5S)-5-phenylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

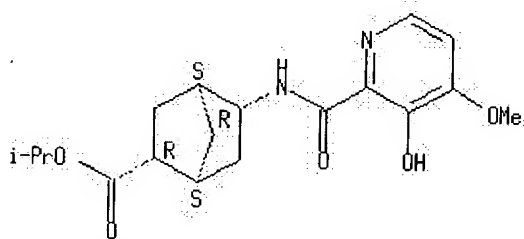
Relative stereochemistry.



RN 321601-51-8 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[[3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-, 1-methylethyl ester, (1R,2S,4R,5S)-rel- (9CI) (CA INDEX NAME)

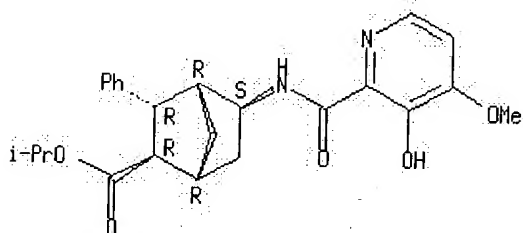
Relative stereochemistry.



RN 321601-52-9 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[[3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2R,3R,4R,5S)-rel- (9CI) (CA INDEX NAME)

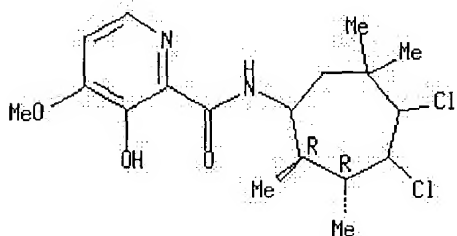
Relative stereochemistry.



RN 321744-54-1 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(2R,3R)-4,5-dichloro-2,3,6,6-tetramethylcycloheptyl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

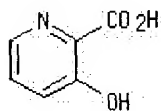


IT 874-24-8, 3-Hydroxypyridine-2-carboxylic acid 62733-99-7
 , Methyl 3-hydroxypyridine-2-carboxylate 153140-15-9
210300-09-7 267416-45-5

RL: **RCT (Reactant)**; RACT (Reactant or reagent)
 (prepn. and fungicidal activity of heterocyclic arom. amides)

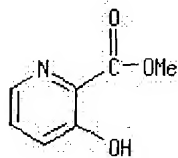
RN 874-24-8 HCAPLUS

CN 2-Pyridinecarboxylic acid, 3-hydroxy- (9CI) (CA INDEX NAME)



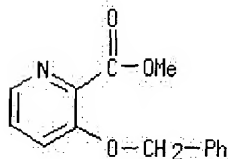
RN 62733-99-7 HCAPLUS

CN 2-Pyridinecarboxylic acid, 3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



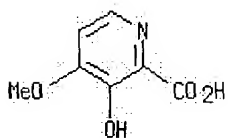
RN 153140-15-9 HCAPLUS

CN 2-Pyridinecarboxylic acid, 3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



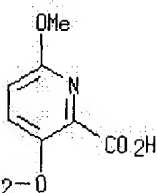
RN 210300-09-7 HCAPLUS

CN 2-Pyridinecarboxylic acid, 3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 267416-45-5 HCAPLUS

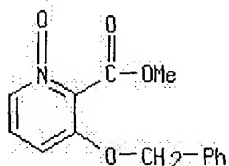
CN 2-Pyridinecarboxylic acid, 6-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Ph-CH₂-OIT 151070-97-2P 321596-51-4P 321596-54-7P321596-55-8P 321596-56-9P 321596-57-0P321596-58-1P 321597-64-2P 321597-65-3P321597-72-2P 321597-73-3P 321601-48-3P

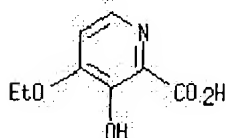
RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

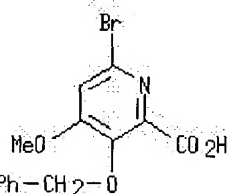
(prepn. and fungicidal activity of heterocyclic arom. amides)

RN 151070-97-2 HCAPLUSCN 2-Pyridinecarboxylic acid, 3-(phenylmethoxy)-, methyl ester, 1-oxide (9CI)
(CA INDEX NAME)RN 321596-51-4 HCAPLUS

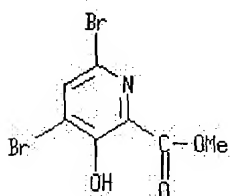
CN 2-Pyridinecarboxylic acid, 4-ethoxy-3-hydroxy- (9CI) (CA INDEX NAME)

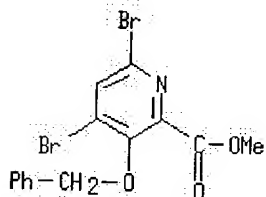
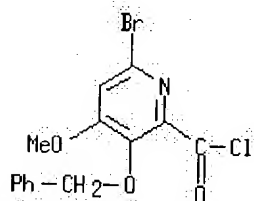
RN 321596-54-7 HCAPLUS

CN 2-Pyridinecarboxylic acid, 6-bromo-4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

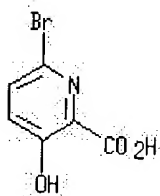
Ph-CH₂-ORN 321596-55-8 HCAPLUS

CN 2-Pyridinecarboxylic acid, 4,6-dibromo-3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



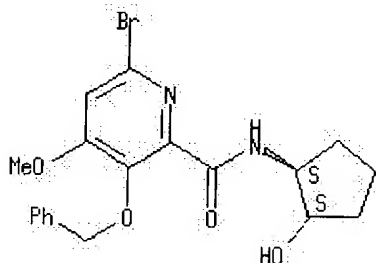
RN 321596-56-9 HCAPLUSCN 2-Pyridinecarboxylic acid, 4,6-dibromo-3-(phenylmethoxy)-, methyl ester
(9CI) (CA INDEX NAME)RN 321596-57-0 HCAPLUSCN 2-Pyridinecarbonyl chloride, 6-bromo-4-methoxy-3-(phenylmethoxy)- (9CI)
(CA INDEX NAME)RN 321596-58-1 HCAPLUS

CN 2-Pyridinecarboxylic acid, 6-bromo-3-hydroxy- (9CI) (CA INDEX NAME)

RN 321597-64-2 HCAPLUS

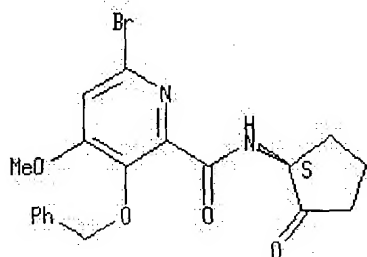
CN 2-Pyridinecarboxamide, 6-bromo-N-[(1S,2S)-2-hydroxycyclopentyl]-4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 321597-65-3 HCAPLUS

CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-N-[(1S)-2-oxocyclopentyl]-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

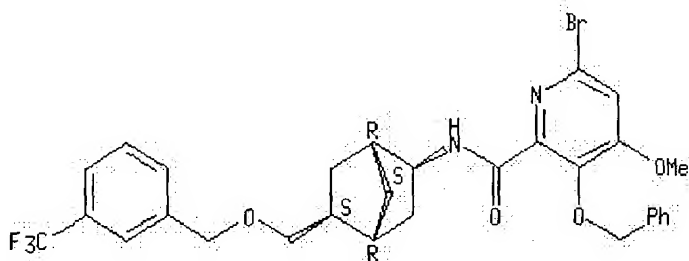
Absolute stereochemistry.



RN 321597-72-2 HCAPLUS

CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-3-(phenylmethoxy)-N-
 [(1R,2S,4R,5S)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]
]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

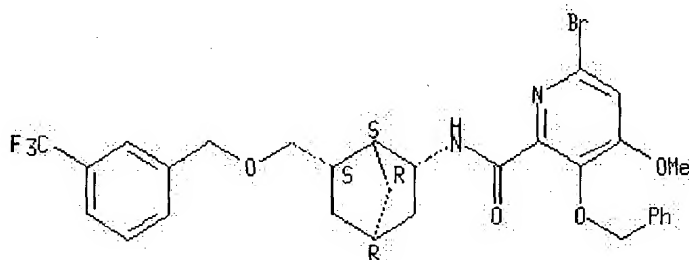
Relative stereochemistry.



RN 321597-73-3 HCAPLUS

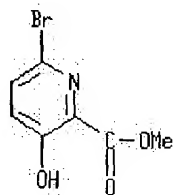
CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-3-(phenylmethoxy)-N-
 [(1R,2S,4S,6R)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]
]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321601-48-3 HCAPLUS

CN 2-Pyridinecarboxylic acid, 6-bromo-3-hydroxy-, methyl ester (9CI) (CA
 INDEX NAME)



L30 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text	Cited References
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ACCESSION NUMBER: 2000:314676 HCAPLUS

DOCUMENT NUMBER: 132:334362

TITLE: Preparation of picolinamide derivatives and pest

controllers containing the same as the active ingredient

INVENTOR(S): Imamura, Keiichi; Mitomo, Kouichi; Yamada, Natsuko; Yamamoto, Kazumi; Teraoka, Takeshi; Sakanaka, Osamu; Kurihara, Hiroshi; Taniguchi, Makoto

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2

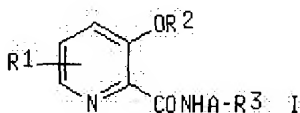
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2000026191</u>	A1	20000511	<u>WO 1999-JP6142</u>	19991104
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
<u>CA 2353627</u>	AA	20000511	<u>CA 1999-2353627</u>	19991104
<u>EP 1134214</u>	A1	20010919	<u>EP 1999-954375</u>	19991104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
<u>AU 771975</u>	B2	20040408	<u>AU 2000-10768</u>	19991104
PRIORITY APPLN. INFO.:			<u>JP 1998-313688</u>	A 19981104
			<u>WO 1999-JP6142</u>	W 19991104
OTHER SOURCE(S): MARPAT 132:334362				
GI				



AB Described are novel compds. of general formula [I; wherein A is a bond or optionally substituted alkylene; R1 is one or more groups which may be the same or different from each other and are selected from among hydrogen, alkoxy and haloalkoxy; R2 is hydrogen, (substituted) benzyl, (substituted) alkyl or (substituted) alkanoyl; and R3 is hydrogen, (substituted) cycloalkyl, (substituted) cycloalkenyl, (substituted) aryl, or a (substituted) heterocyclic group, with the proviso that the cases wherein R1 is hydrogen, A is a free valency or methylene, and R3 is Ph or cyclohexyl or those wherein A is alkylene and R3 is hydrogen are excepted.], pest controllers such as plant fungicides, insecticides, and herbicides contg. the same; and a process for the prepn. of the compds. Thus, a soln. of 1.85 g 4-phenoxyaniline in 25 mL DMF was added dropwise to a suspension of 1.39 g 3-hydroxypicolinic acid, 1.95 g carbonyl diimidazole, and 30 mL DMF and stirred overnight to give 41% 3-hydroxy-4'-phenoxy-picolinanilide (II). II at 100 ppm protected 80-100% rice seedlings against Pyricularia oryzae.

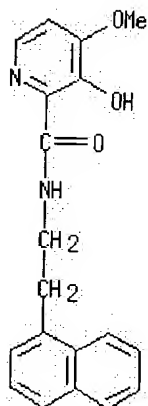
IT 267415-81-6P 267415-92-9P 267415-93-0P
267416-05-7P 267416-06-8P 267416-15-9P
267416-28-4P 267416-29-5P 267416-30-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(prepn. of picolinamide derivs. as pest controllers)

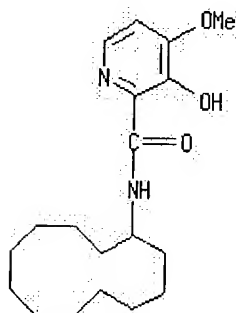
RN 267415-81-6 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[2-(1-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)



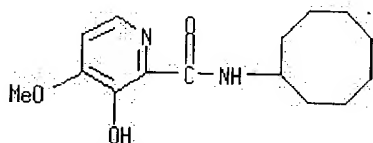
RN 267415-92-9 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclododecyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 267415-93-0 HCAPLUS

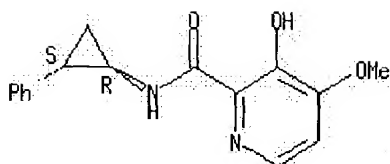
CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 267416-05-7 HCAPLUS

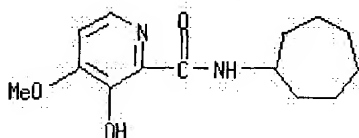
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S)-2-phenylcyclopropyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



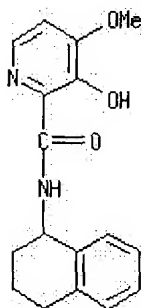
RN 267416-06-8 HCAPLUS

CN 2-Pyridinecarboxamide, N-cycloheptyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



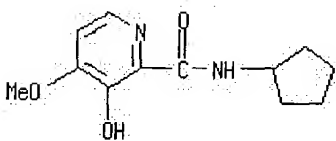
RN 267416-15-9 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



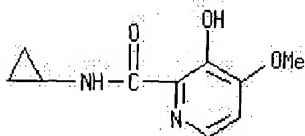
RN 267416-28-4 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclopentyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



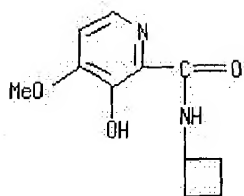
RN 267416-29-5 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclopropyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 267416-30-8 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclobutyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



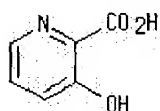
IT 874-24-8, 3-Hydroxypicolinic acid

RL: **RCT (Reactant)**; RACT (Reactant or reagent)

(prepn. of picolinamide derivs. as pest controllers)

RN 874-24-8 HCAPLUS

CN 2-Pyridinecarboxylic acid, 3-hydroxy- (9CI) (CA INDEX NAME)



IT 62733-99-7P 151070-98-3P, 3-Benzyloxy-6-hydroxy-picolinic acid methyl ester 153140-15-9P 164721-32-8P

170689-56-2P 210300-09-7P 234113-31-6P

234113-32-7P 234113-33-8P 267416-43-3P

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267416-47-7P 267416-94-4P 267416-95-5P

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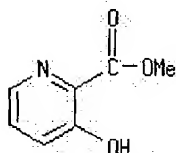
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(Preparation); RACT (Reactant or reagent)

(prepn. of picolinamide derivs. as pest controllers)

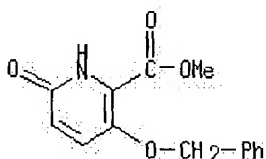
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CN 2-Pyridinecarboxylic acid, 3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



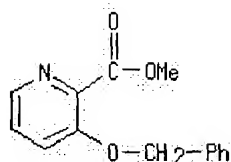
RN 151070-98-3 HCAPLUS

CN 2-Pyridinecarboxylic acid, 1,6-dihydro-6-oxo-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



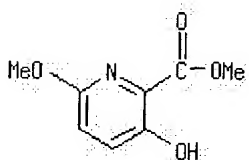
RN 153140-15-9 HCAPLUS

CN 2-Pyridinecarboxylic acid, 3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



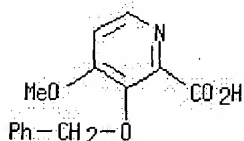
RN 164721-32-8 HCAPLUS

CN 2-Pyridinecarboxylic acid, 3-hydroxy-6-methoxy-, methyl ester (9CI) (CA INDEX NAME)



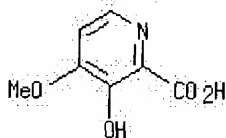
RN 170689-56-2 HCAPLUS

CN 2-Pyridinecarboxylic acid, 4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



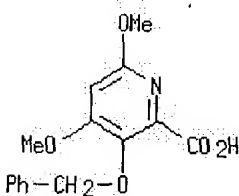
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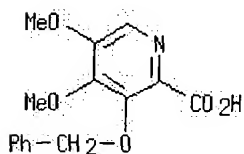
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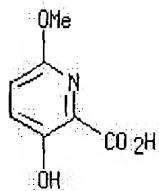
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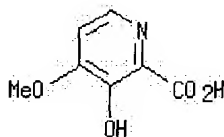


RN 234113-33-8 HCAPLUS

CN 2-Pyridinecarboxylic acid, 3-hydroxy-6-methoxy- (9CI) (CA INDEX NAME)

RN 267416-43-3 HCAPLUS

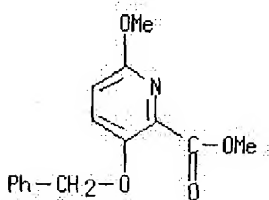
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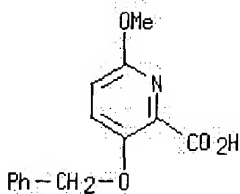
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RN 267416-44-4 HCAPLUS

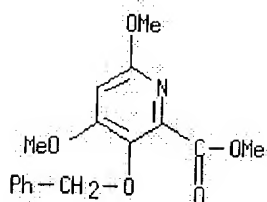
CN 2-Pyridinecarboxylic acid, 6-methoxy-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

RN 267416-45-5 HCAPLUS

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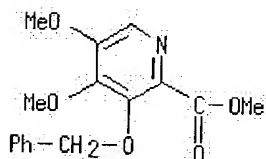
RN 267416-46-6 HCAPLUS

CN 2-Pyridinecarboxylic acid, 4,6-dimethoxy-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



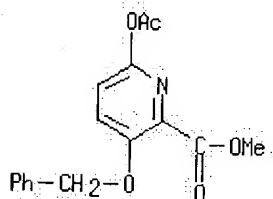
RN 267416-47-7 HCAPLUS

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(9CI) (CA INDEX NAME)



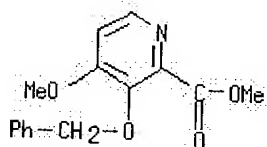
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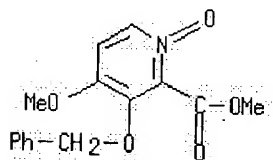
RN 267416-95-5 HCAPLUS

CN 2-Pyridinecarboxylic acid, 4-methoxy-3-(phenylmethoxy)-, methyl ester
(9CI) (CA INDEX NAME)



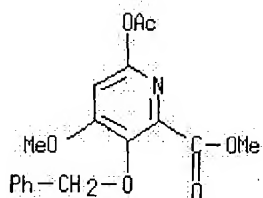
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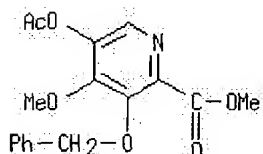
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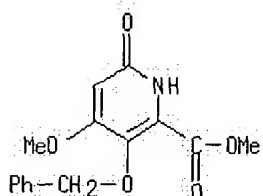
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CN 2-Pyridinecarboxylic acid, 5-(acetyloxy)-4-methoxy-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



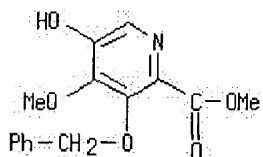
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CN 2-Pyridinecarboxylic acid, 1,6-dihydro-4-methoxy-6-oxo-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 267417-01-6 HCAPLUS

CN 2-Pyridinecarboxylic acid, 5-hydroxy-4-methoxy-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

19

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ENTRY

SESSION

FULL ESTIMATED COST

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685.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

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SESSION

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This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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L12 22 S L10 NOT L11
L13 0 S L12 AND MITOMO, K?/AU
L14 0 S L12 AND YAMADA, N?/AU
L15 0 S L12 AND YAMAMOTO, K?/AU
L16 0 S L12 AND TERAOKA, T?/AU
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L29 18 S L9/PREP
L30 3 S L29 AND L28

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L31

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